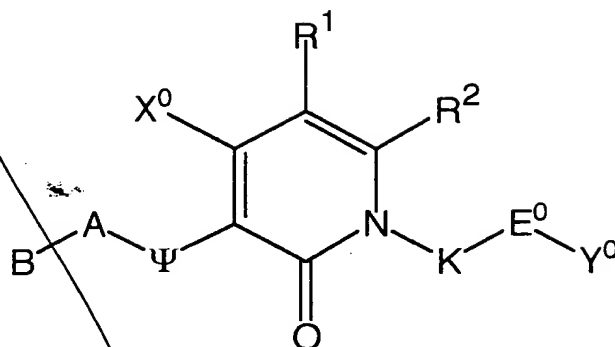


What we claim is:

1. A compound of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 5           B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a nitrogen with a removable hydrogen or a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , a nitrogen with a removable hydrogen or a carbon at the other position adjacent to the point of attachment is optionally substituted by  $R^{36}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^{32}$  and two atoms from the point of attachment is optionally substituted by  $R^{33}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^{36}$  and two atoms from the point of attachment is optionally substituted by  $R^{35}$ , and a nitrogen with a removable hydrogen or a carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;
- 10
- 15

$R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{32}, R^{33}, R^{34}, R^{35}$ , and  $R^{36}$  are

- independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylendioxy, haloalkylthio, alkanoyloxy, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, alkoxyalkyl, haloalkoxyalkyl, hydroxy, amino, alkoxyamino, nitro, alkylamino,
- 20

N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy, carboxamido, carboxamidoalkyl, and cyano;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently optionally  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is optionally a C3-C12 cycloalkyl or a C4-C9 heterocyclyl, wherein each ring carbon may be optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogens adjacent to the carbon at the point of attachment may be optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment may be substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment may be substituted with  $R^{12}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{10}$  position may be substituted with  $R^{11}$ , a ring carbon

three atoms from the point of attachment and adjacent to the  $R^{12}$  position may be substituted with  $R^{33}$ , and a ring carbon four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions may be substituted with  $R^{34}$ ;

5 A is selected from the group consisting of a bond,  $(W^7)_{rr}$ ,  $(CH(R^{15}))_{pa}$  and  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 6, and  $W^7$  is selected from the group consisting of O, S, C(O),  $(R^7)NC(O)$ ,  $(R^7)NC(S)$ , and  $N(R^7)$ , with the proviso that no more than one of the group consisting of  $rr$  and  $pa$  is 0 at the same time;

10  $R^7$  is selected from the group consisting of hydrido, hydroxy, and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

$\Psi$  is NH or NOH;

15  $R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$X^0$  and  $R^1$  or  $R^1$  and  $R^2$  is optionally  $-W=X-Y=Z-$  wherein

20  $-W=X-Y=Z-$  forms an aryl or C5-C6 heteroaryl;

W, X, Y, and Z are independently selected from the group consisting of  $C(R^9)$ ,  $C(R^{10})$ ,  $C(R^{11})$ ,  $C(R^{12})$ , N,  $N(R^{10})$ , O, S, and a bond with the proviso that one of W, X, Y, and Z is independently selected to be a bond when one of W, X, Y, and Z is O or S, with the further provision that no more than one of W, X, Y, and Z is optionally O or S, and with the additional provision that no more than three of W, X, Y, and Z are optionally N or  $N(R^{10})$ ;

5  $R^2$  is  $Z^0$ -Q;

~~W<sup>0</sup>-(CH(R<sup>42</sup>))<sub>p</sub> wherein p is an integer selected from 0 through 3, and W<sup>0</sup> is selected from the group consisting of O, S, C(O), S(O), N(R<sup>41</sup>), and ON(R<sup>41</sup>), (CH(R<sup>41</sup>))<sub>g</sub>-O wherein g is an integer selected from 1 through 3, (CH(R<sup>41</sup>))<sub>g</sub>-~~

$Z^0$  is optionally  $W^{22}-(CH(R^{42}))_h$  wherein  $h$  is 0 or 1 and  $W^{22}$  is

1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl,  
15 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl,  
3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl,  
2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl,  
2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl,  
1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl,  
20 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl,  
2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein

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group consisting of hydrido, amino, aminoalkyl, hydroxyalkyl, halo, trifluoromethyl, alkyl, and alkoxy;

$R^{41}$  is selected from the group consisting of hydrido, hydroxy, amino, and alkyl;

5  $R^{42}$  is selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a nitrogen with a removable hydrogen or a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , a nitrogen with a removable hydrogen or a carbon at the other position adjacent to the point of attachment is optionally substituted by  $R^{13}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^9$  and two atoms from the point of attachment is optionally substituted by  $R^{10}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^{13}$  and two atoms from the point of attachment is optionally substituted by  $R^{12}$ , and a nitrogen with a removable hydrogen or a carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than phenyl when  $Z^0$  is a bond;

Q is optionally hydrido with the proviso that  $Z^0$  is other than a bond;

K is  $(CR^{4a}R^{4b})_n$  wherein n is 1 or 2;

20  $R^{4a}$  and  $R^{4b}$  are independently selected from the group consisting of halo, hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$E^0$  is  $E^1$ , when K is  $(CR^{4a}R^{4b})_n$ , wherein  $E^1$  is selected from the group consisting of a bond, C(O), C(S), C(O)N( $R^7$ ), ( $R^7$ )NC(O), S(O)<sub>2</sub>, ( $R^7$ )NS(O)<sub>2</sub>, and S(O)<sub>2</sub>N( $R^7$ );

Y<sup>0</sup> is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q<sup>s</sup>, a carbon two or three contiguous atoms from the point of attachment of Q<sup>s</sup> to the phenyl or heteroaryl ring is substituted by Q<sup>b</sup>, a carbon adjacent to the point of attachment of Q<sup>s</sup> is optionally substituted by R<sup>17</sup>, another carbon adjacent to the point of attachment of Q<sup>s</sup> is optionally substituted by R<sup>18</sup>, a carbon adjacent to Q<sup>b</sup> is optionally substituted by R<sup>16</sup>, and another carbon adjacent to Q<sup>b</sup> is optionally substituted by R<sup>19</sup>;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboalkoxy, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, aminoalkyl, hydrido, N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, with the proviso that no more than one of R<sup>20</sup> and R<sup>21</sup> is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time and with the further proviso that no more than one of R<sup>23</sup> and R<sup>24</sup> is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

$R^{20}, R^{21}, R^{23}, R^{24}, R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, aminoalkyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;

$Q^s$  is selected from the group consisting of a bond,  $(CR^{37}R^{38})_b$  wherein  $b$  is an integer selected from 1 through 4, and  $(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$  wherein  $c$  and  $d$  are integers independently selected from 1 through 3 and  $W^1$  is selected from the group consisting of  $C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and  $N(R^{14})$ , with the proviso that  $R^{14}$  is selected from other than halo when directly bonded to N and with the further proviso that  $(CR^{37}R^{38})_b$  and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;

$R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^{37}$  and  $R^{38}$  are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

$R^{38}$  is optionally aroyl or heteroaroyl, wherein  $R^{38}$  is optionally substituted with one or more substituents selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$ ;

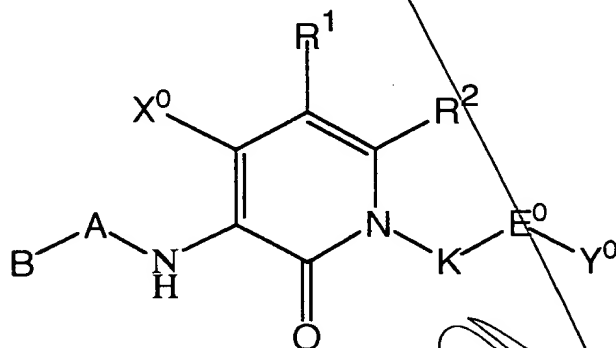
$Y^0$  is optionally  $Y^{AT}$  wherein  $Y^{AT}$  is  $Q^b-Q^s$ ;

$Y^0$  is optionally  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ , wherein  $e$  and  $h$  are independently 1 or 2 and  $W^2$  is  $CR^{4a}=CR^{4b}$  with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ ;

- $Y^0$  is optionally  $Q^b-Q^{ssss}$  or  $Q^b-Q^{sssr}$  wherein  $Q^{ssss}$  is  $(CH(R^{38}))_r-$   
 $W^5$  and  $Q^{sssr}$  is  $(CH(R^{38}))_r-W^6$ ,  $r$  is 1 or 2,  $W^5$  and  $W^6$  are independently  
 selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-  
 indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-  
 5 indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl,  
 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-  
 benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl,  
 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl,  
 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl,  
 10 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,7-imidazo(1,2-a)pyridinyl,  
 3,4-imidazo(1,2-a)pyridinyl, 3,5-imidazo(1,2-a)pyridinyl,  
 3,6-imidazo(1,2-a)pyridinyl, 3,7-imidazo(1,2-a)pyridinyl, 2,4-indolyl,  
 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl,  
 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl,  
 15 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl,  
 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl,  
 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-  
 benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-  
 naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl,  
 20 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl,  
 2,5-quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-quinolinyl, 3,5-  
 quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-  
 quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl,  
 1,5-isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-  
 25 isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-  
 isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-  
 isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-  
 cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl, and  
 each carbon and hyrido containing nitrogen member of the ring of the  $W^5$  and  
 30 of the ring of the  $W^6$ , other than the points of attachment of  $W^5$  and  $W^6$ , is  
 optionally substituted with one or more of the group consisting of  $R^9$ ,  $R^{10}$ ,

$R^{11}$  and  $R^{12}$ , with the proviso that  $W^5$  and  $W^6$  are selected from other than  
 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-benzofuranyl, 2,7-benzofuranyl,  
 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl,  
 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl,  
 5 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl,  
 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl,  
 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl,  
 2,5-benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl,  
 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, and 3,7-benzisoxazolyl, wherein  $r$  is the  
 10 integer one, with the further proviso that  $Q^b$  is bonded to lowest number  
 substituent position of each  $W^5$ , with the still further proviso that  $Q^b$  is bonded  
 to highest number substituent position of each  $W^6$ , and with the additional  
 proviso that  $(CH(R^{38}))_r$  is bonded to  $E^0$ .

15 2. Compound of Claim 1 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon  
 adjacent to the carbon at the point of attachment of said phenyl or heteroaryl  
 20 ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the  
 carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon  
 adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is  
 optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the

carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is optionally a C3-C12 cycloalkyl or C4-C9 heterocyclyl, wherein each ring carbon may be optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogens adjacent to the carbon at the point of attachment may be optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment may be substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment may be substituted with  $R^{12}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{10}$  position may be substituted with  $R^{11}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{12}$  position may

be substituted with  $R^{33}$ , and a ring carbon four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions may be substituted with  $R^{34}$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group  
 5 consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkylamino, N-alkyl-N-aryl amino, arylamino, aralkylamino, heteroaryl amino,  
 10 heteroaralkyl amino, heterocyclyl amino, heterocyclylalkyl amino, alkylthio, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, halo, haloalkyl, haloalkoxy,  
 15 hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is selected from the group consisting of O, S, C(O),  $(R^7)NC(O)$ ,  $(R^7)NC(S)$ , and  $N(R^7)$ ;

20  $R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl,  
 25 alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$X^0$  and  $R^1$  or  $R^1$  and  $R^2$  is optionally  $-W=X-Y=Z-$  wherein  $-W=X-Y=Z-$  forms an aryl or heteroaryl of 5 or 6 ring-members;

W, X, Y, and Z are independently selected from the group consisting of  $C(R^9)$ ,  $C(R^{10})$ ,  $C(R^{11})$ ,  $C(R^{12})$ , N,  $N(R^{10})$ , O, S and a bond with the proviso that one of W, X, Y, and Z is independently selected to be a bond when one of W, X, Y, and Z is O or S, with the further proviso that no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and with the additional proviso that no more than three of W, X, Y, and Z are optionally N or  $N(R^{10})$ ;

$X^0$  and  $R^1$  or  $R^1$  and  $R^2$  is optionally bonded together to form C5-C8 cycloalkenyl ring or a partially saturated C5-C8 heterocyclyl ring, wherein said cycloalkenyl ring or heterocyclyl ring is optionally substituted with one or more of the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;

$R^2$  is  $Z^0$ -Q;

$Z^0$  is selected from the group consisting of a bond,  $W^0-(CH(R^{42}))_p$  wherein p is an integer selected from 0 through 3 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ , and  $(CH(R^{41}))_g-O$  wherein g is an integer selected from 1 through 3, with the proviso that  $Z^0$  is directly bonded to the pyridone ring;

$Z^0$  is optionally  $W^{22}-(CH(R^{42}))_h$  wherein h is 0 or 1 and  $W^{22}$  is selected from the group consisting of 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein  $Z^0$  is directly bonded to the pyridone ring and  $W^{22}$  is optionally substituted with one or more



- substituents selected from the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ , with the proviso that  $W^{22}$  is selected from other than a cycloalkyl wherein  $Y^0$  is selected selected from the group consisting of thiazolyl, imidazolyl, and pyridyl and any one of  $Q^b$ ,  $R^{16}$  and  $R^{19}$  is from the group consisting of hydrido, amino, aminoalkyl, hydroxyalkyl, halo, trifluoromethyl, alkyl, and alkoxy;
- 5  $R^{41}$  is selected from the group consisting of hydrido, hydroxy, <sup>amino,</sup> and alkyl;
- $R^{42}$  is selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;
- 10  $Q$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that  $Q$  is other than a phenyl when  $Z^0$  is a bond;
- 15  $Q$  is optionally hydrido with the proviso that  $Z^0$  is selected from other than a bond;
- 20  $K$  is  $CHR^{4a}$  wherein  $R^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;
- $E^0$  is selected from the group consisting of a bond,  $C(O)N(H)$ ,  $(H)NC(O)$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

Y<sup>0</sup> is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q<sup>s</sup>, a carbon two or three contiguous atoms from the point of attachment of Q<sup>s</sup> to the phenyl or heteroaryl ring is substituted by Q<sup>b</sup>, a carbon adjacent to the point of attachment of Q<sup>s</sup> is optionally substituted by R<sup>17</sup>, another carbon adjacent to the point of attachment of Q<sup>s</sup> is optionally substituted by R<sup>18</sup>, a carbon adjacent to Q<sup>b</sup> is optionally substituted by R<sup>16</sup>, and another carbon adjacent to Q<sup>b</sup> is optionally substituted by R<sup>19</sup>;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, hydrido, N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, with the proviso that no more than one of R<sup>20</sup> and R<sup>21</sup> is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time and with the further proviso that no more than one of R<sup>23</sup> and R<sup>24</sup> is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

$Q^s$  is selected from the group consisting of a bond,  $(CR^{37}R^{38})_b$ ,  
 wherein b is an integer selected from 1 through 4, and  $(CH(R^{14}))_c-W^1-$   
 $(CH(R^{15}))_d$  wherein c and d are integers independently selected from 1  
 through 3 and  $W^1$  is selected from the group consisting of  $C(O)N(R^{14})$ ,  
 5  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and  $N(R^{14})$ , with the  
 proviso that  $R^{14}$  is selected from other than halo when directly bonded to N  
 and with the further proviso that  $(CR^{37}R^{38})_b$  and  $(CH(R^{14}))_c$  are bonded to  
 $E^0$ ;

10  $R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and  
 haloalkyl;

$R^{37}$  and  $R^{38}$  are independently selected from the group consisting of  
 hydrido, alkyl, and haloalkyl;

15  $R^{38}$  is optionally aroyl or heteroaroyl, wherein  $R^{38}$  is optionally  
 substituted with one or more substituents selected from the group consisting of  
 $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$ ;

$Y^0$  is optionally  $Y^{AT}$  wherein  $Y^{AT}$  is  $Q^b-Q^s$ ;

$Y^0$  is optionally  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ ,

wherein e and h are independently 1 or 2 and  $W^2$  is  $CR^{14}=CH$  with the  
 proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ .

20

3. Compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8  
 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl,  
 wherein each member of group B is optionally substituted at any carbon up to

and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is  $(CH(R^{15}))_{pa}-W^7$  wherein pa is an integer selected from 0 through 3 and  $W^7$  is selected from the group consisting of O, S, and  $N(R^7)$  wherein  $R^7$  is hydrido or alkyl;

$R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl with the proviso that  $R^{15}$  is other than hydroxy or halo when  $R^{15}$  is on the carbon bonded directly to  $W^7$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$R^1$  and  $R^2$  is optionally  $-W=X-Y=Z-$  wherein  $-W=X-Y=Z-$  forms an aryl or heteroaryl of 5 or 6 ring-members;

W, X, Y, and Z are independently selected from the group consisting of  $C(R^9)$ ,  $C(R^{10})$ ,  $C(R^{11})$ ,  $C(R^{12})$ , N,  $N(R^{10})$ , O, S and a bond with the proviso that one of W, X, Y, and Z is independently selected to be a bond when one of W, X, Y, and Z is O or S, with the further proviso that no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and with the additional proviso that no more than three of W, X, Y, and Z are optionally N or  $N(R^{10})$ ;

$R^1$  and  $R^2$  is optionally bonded together to form C5-C8 cycloalkenyl ring or a partially saturated C5-C8 heterocyclyl ring, wherein said cycloalkenyl

ring or heterocyclyl ring is optionally substituted with one or more of the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond or  $W^0-(CH(R^{42}))_p$  wherein  $p$  is an integer selected from 0 through 3 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ , with the proviso that  $Z^0$  is directly bonded to the pyridone ring;

$R^{41}$  is selected from the group consisting of hydrido, hydroxy, and alkyl;

$R^{42}$  is selected from the group consisting of amidino, hydrido, hydroxy, amino, and alkyl;

$Q$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that  $Q$  is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylendioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkylamino, N-alkyl-N-aryl amino, arylamino, aralkylamino, heteroaryl amino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylthio,

alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

K is  $\text{CHR}^{4a}$  wherein  $\text{R}^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$\text{E}^0$  is selected from the group consisting of a bond,  $\text{C}(\text{O})\text{N}(\text{H})$ ,  $(\text{H})\text{NC}(\text{O})$ ,  $(\text{R}^7)\text{NS}(\text{O})_2$ , and  $\text{S}(\text{O})_2\text{N}(\text{R}^7)$ ;

$\text{Y}^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $\text{Q}^s$ , a carbon two or three contiguous atoms from the point of attachment of  $\text{Q}^s$  to the phenyl or heteroaryl ring is substituted by  $\text{Q}^b$ , a carbon adjacent to the point of attachment of  $\text{Q}^s$  is optionally substituted by  $\text{R}^{17}$ , another carbon adjacent to the point of attachment of  $\text{Q}^s$  is optionally substituted by  $\text{R}^{18}$ , a carbon adjacent to  $\text{Q}^b$  is optionally substituted by  $\text{R}^{16}$ , and another carbon adjacent to  $\text{Q}^b$  is optionally substituted by  $\text{R}^{19}$ ;

$\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ , and  $\text{R}^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$\text{R}^{16}$  or  $\text{R}^{19}$  is optionally selected from the group consisting of  $\text{NR}^{20}\text{R}^{21}$ ,  $\text{N}(\text{R}^{26})\text{C}(\text{NR}^{25})\text{N}(\text{R}^{23})(\text{R}^{24})$ , and  $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$ , with the proviso that  $\text{R}^{16}$ ,  $\text{R}^{19}$ , and  $\text{Q}^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  
 $N(R^{26})C(NR^{25})(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no  
 more than one of  $R^{20}$  and  $R^{21}$  is selected from the group consisting of hydroxy,  
 amino, alkylamino, and dialkylamino at the same time and with the further proviso  
 5 that no more than one of  $R^{23}$  and  $R^{24}$  is selected from the group consisting of  
 hydroxy, amino, alkylamino, and dialkylamino at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the  
 group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

$Q^s$  is selected from the group consisting of a bond,  $(CR^{37}R^{38})_b$   
 10 wherein b is an integer selected from 1 through 3, and  
 $(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$  wherein c and d are independently 1 or 2 and  
 $W^1$  is selected from the group consisting of  $C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  
 $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and  $N(R^{14})$ , with the proviso that  $R^{14}$  is  
 15 selected from other than halo when directly bonded to N and with the further  
 proviso that  $(CR^{37}R^{38})_b$  and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;

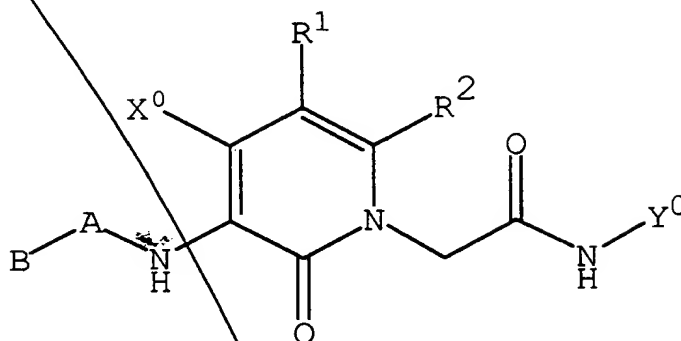
$R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and  
 haloalkyl;

$R^{37}$  and  $R^{38}$  are independently selected from the group consisting of  
 hydrido, alkyl, and haloalkyl;

20  $R^{38}$  is optionally aroyl and heteroaroyl;

$Y^0$  is optionally  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ ,  
 wherein e and h are integers independently selected from 1 through 2 and  $W^2$   
 is  $CR^{4a}=CH$  with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ .

## 4. Compound of Claim 3 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 5 B is selected from the group consisting of hydrido, trialkylsilyl, C2-C4 alkyl, C3-C5 alkylenyl, C3-C4 alkenyl, C3-C4 alkynyl, and C2-C4 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ , and  $R^{34}$ ;

- 10  $R^{32}$ ,  $R^{33}$ , and  $R^{34}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

- 15 A is  $(CH(R^{15}))_{pa}-N(R^7)$  wherein pa is an integer selected from 0 through 2 and  $R^7$  is selected from the group consisting of hydrido and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

- 20  $R^1$  and  $X^0$  are independently from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$R^2$  is  $Z^0-Q$ ;



$Z^0$  is a bond or  $W^0-CH(R^{42})$  wherein  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ ;

$R^{41}$  and  $R^{42}$  are independently hydrido or alkyl;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamine, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl,

aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three  
 5 contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  
 10  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and  
 15 cyano;

$R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that  
 20 no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^S$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

5

5. Compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of ethyl, 2-propenyl,

2-propynyl, propyl, isopropyl,  $-CH_2CH_2CH_2-$ ,  $-CH_2CH_2CH_2CH_2-$ , butyl,

2-butenyl, 3-butenyl, 2-butyryl, sec-butyl, tert-butyl, isobutyl,

10

2-methylpropenyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, and

2,2-difluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to

A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ , and  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ , and  $R^{34}$  are independently selected from the group

15

consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl,

20

2,2,2-trifluoroethyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro,

bromo, amidosulfonyl, N-methylamidulosulfonyl,

N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl,

2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl,

ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl,

N,N-dimethylamidocarbonyl, and cyano;

25

A is selected from the group consisting of a bond, NH, and  $N(CH_3)$ ;

$R^1$  and  $X^O$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

30

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH,  $N(CH_3)$ ,  $OCH_2$ ,  $SCH_2$ ,  $N(H)CH_2$ , and  $N(CH_3)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl,  
 5 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl,  
 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl,  
 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl,  
 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a  
 carbon adjacent to the carbon at the point of attachment of said phenyl or  
 10 heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent  
 to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a  
 carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment  
 is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from  
 the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any  
 15 carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the  
 proviso that Q is other than a phenyl when  $Z^0$  is a bond;

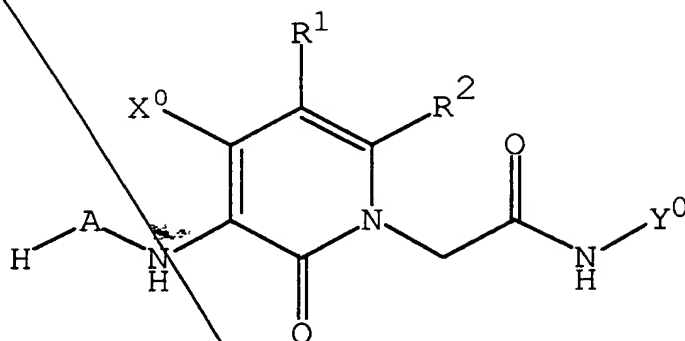
$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting  
 of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl,  
 methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino,  
 20 N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,  
 trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,  
 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro,  
 chloro, bromo, methanesulfonamido, amidosulfonyl,  
 N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl,  
 25 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,  
 amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and  
 cyano;

- $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of
- hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl,
- 5 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl,
- 10 N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl,
- 15 N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxo, benzyloxy, 4-bromo-3-fluorophenoxy,
- 20 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-ylmethylamino, 4-butoxyphenylamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy,
- 25 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl, 5-chloropyrid-3-ylloxy, 2-cyanopyrid-3-ylloxy, 2,3-difluorobenzyloxy, 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy,
- 30 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy, 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy, 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy, 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy, 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,
- 35 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,

- 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,
- 5 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy, 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy, 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,
- 10 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy, 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;
- 15  $Y^0$  is selected from the group consisting of:
- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,
- 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine,
- 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,
- 20 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>-6-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-4-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,
- 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,
- 25 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;
- $R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;
- $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})(R^{23})(R^{24})$ , with the proviso that no more than one of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  can be hydroxy, when any two of the group consisting of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  are bonded to the same atom and with the further proviso that said  $Q^b$  group is bonded directly to a carbon atom;
- $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;
- $Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

6. Compound of Claim 4 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of  $\text{CH}_2\text{N}(\text{CH}_3)$ ,

5  $\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$ ,  $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_3)$ , and  $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$ ;

$\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

$\text{Z}^0$  is selected from the group consisting of a bond, O, S, NH,  $\text{N}(\text{CH}_3)$ ,  $\text{OCH}_2$ ,  $\text{SCH}_2$ ,  $\text{N}(\text{H})\text{CH}_2$ , and  $\text{N}(\text{CH}_3)\text{CH}_2$ ;

15 Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $\text{Z}^0$  is optionally substituted by  $\text{R}^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $\text{R}^{13}$ , a carbon adjacent to  $\text{R}^9$  and two atoms from the carbon at the point of attachment



is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

- 5  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,
- 10 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;
- 15  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,
- 20 methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl,
- 25 N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidulosulfonyl, N-(2-chlorobenzyl)amidulosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl,
- 30 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,

- N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy,  
 cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy,  
 cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy,  
 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino,  
 5 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl,  
 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino,  
 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy,  
 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl,  
 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy,  
 10 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy,  
 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy,  
 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy,  
 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy,  
 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy,  
 15 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy,  
 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy,  
 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,  
 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,  
 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy,  
 20 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy,  
 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,  
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,  
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,  
 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,  
 25 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,  
 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,  
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,  
 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,  
 30 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy,  
 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy,  
 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

$Y^0$  is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,

- $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-3-R^{19}$  pyridine,  
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$  pyridine,  $2-Q^b-5-Q^s-3-R^{16}-6-R^{18}$  pyrazine,  
 $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$  pyridazine,  
 $2-Q^b-5-Q^s-4-R^{17}-6-R^{18}$  pyrimidine,  $5-Q^b-2-Q^s-4-R^{16}-6-R^{19}$  pyrimidine,  
5  $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  furan,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  furan,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  pyrrole,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  pyrrole,  
 $4-Q^b-2-Q^s-5-R^{19}$  imidazole,  $2-Q^b-4-Q^s-5-R^{17}$  imidazole,  
 $3-Q^b-5-Q^s-4-R^{16}$  isoxazole,  $5-Q^b-3-Q^s-4-R^{16}$  isoxazole,  
10  $2-Q^b-5-Q^s-4-R^{16}$  pyrazole,  $4-Q^b-2-Q^s-5-R^{19}$  thiazole, and  
 $2-Q^b-5-Q^s-4-R^{17}$  thiazole;

- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group  
 consisting of hydrido, methyl, ethyl, isopropyl, propyl, amidino, guanidino,  
 methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl,  
 15 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,  
 methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl,  
 ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl,  
 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy,  
 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl,  
 20 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  
 $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that  
 no more than one of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  can be hydroxy, when any two  
 of the group consisting of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  are bonded to the same

atom and with the further proviso that said  $Q^b$  group is bonded directly to a carbon atom;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

7. Compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of  $CH_2N(CH_3)$ ,

$CH_2N(CH_2CH_3)$ ,  $CH_2CH_2N(CH_3)$ , and  $CH_2CH_2N(CH_2CH_3)$ ;

$R^1$  and  $X^o$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^o-Q$ ;

$Z^o$  is selected from the group consisting of a bond, O, S, NH, and  $N(CH_3)$ ;

Q is selected from the group consisting of

- 3-amidocarbonyl-5-aminophenyl,
- 3-amino-5-(N-benzylamidocarbonyl)phenyl,
- 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl,
- 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl,
- 3-amino-5-benzoyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,
- 3-amino-5-(N-benzylamidosulfonyl)phenyl,
- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,
- 3-amino-5-(N-ethylamidocarbonyl)phenyl,

- 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 5 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 10 -aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,  
 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,  
 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl,  
 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl,  
 15 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl,  
 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl,  
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl,  
 phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 20 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or a substituted phenyl when  $Z^0$  is a bond;

$Y^0$  is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 25 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of  
 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,  
 hydroxymethyl, fluoro, chloro, and cyano;

- 30  $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of  
 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^s$  is  $CH_2$ .

- 5 8. A compound as recited in Claim 7 or a pharmaceutically acceptable salt thereof where said compound is selected from the group consisting of:

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenoxy]-4-chloro-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

10 N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenoxy]-3-[N-ethyl-N-methylhydrazino]-4-fluoro-2-oxo-1(4H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenoxy]-3-[N,N-diethylhydrazino]-2-oxo-1(4H)-pyridinyl]]acetamide;

15 N-[[4-aminoiminomethylphenyl]methyl]-4-chloro-2-[1-[6-[3,5-diaminophenoxy]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenylthio]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

20 N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenylthio]-3-[N,N-dimethylhydrazino]-4-fluoro-2-oxo-1(2H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-amino-5-carboxyphenoxy]-4-chloro-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

25 N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3,5-diaminophenoxy]-3-[N-ethyl-N-methylhydrazino]-4-fluoro-2-oxo-1(4H)-pyridinyl]]acetamide;

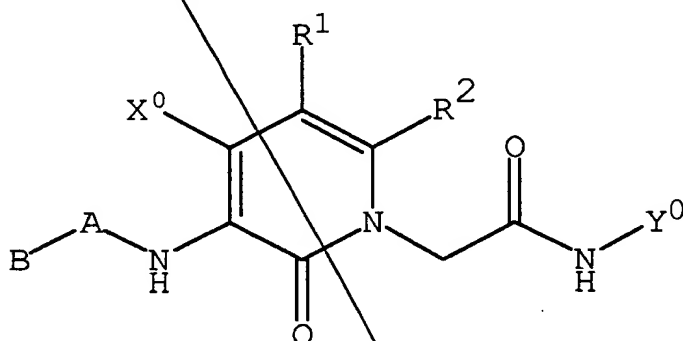
N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3,5-diaminophenoxy]-3-[N,N-diethylhydrazino]-2-oxo-1(4H)-pyridinyl]]acetamide;

30 N-[[4-aminoiminomethylphenyl]methyl]-4-chloro-2-[1-[6-[3,5-diaminophenylthio]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-amino-5-carboxyphenylthio]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

5 N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-amino-5-carboxyphenylthio]-3-[N,N-dimethylhydrazino]-4-fluoro-2-oxo-1(2H)-pyridinyl]]acetamide.

9. Compound of Claim 2 of the Formula:



10 or a pharmaceutically acceptable salt thereof, wherein;

B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

20  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;





halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocycliloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally  $NR^{20}$ ,  $R^{21}$  or  $C(NR^{25})NR^{23}$ ,  $R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

5  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

10 10. Compound of Claim 9 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 15 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

25  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy,

isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 5 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

10 A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $N(OH)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $N(CH_3)C(O)$ ,  $C(O)NH$ ,  $C(O)N(CH_3)$ ,  $CH_2CH_2$ ,  $CH_2CH_2CH_2$ ,  $CH_3CHCH_2$ , and  $CF_3CHCH_2$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, 15 methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^0-Q$ ;

20  $Z^0$  is selected from the group consisting of a bond, O, S, NH,  $N(CH_3)$ ,  $OCH_2$ ,  $SCH_2$ ,  $N(H)CH_2$ , and  $N(CH_3)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 25 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a

carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting

of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of

hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidulosulfonyl, N-(2-chlorobenzyl)amidulosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,

- N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy,  
 cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy,  
 cyclopentoxy, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy,  
 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino,  
 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl,  
 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino,  
 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy,  
 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl,  
 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy,  
 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy,  
 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy,  
 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy,  
 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy,  
 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy,  
 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy,  
 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy,  
 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,  
 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,  
 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy,  
 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy,  
 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,  
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,  
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,  
 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,  
 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,  
 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,  
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,  
 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,  
 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy,  
 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy,  
 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

$Y^0$  is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,

- $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-3-R^{19}$  pyridine,  
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$  pyridine,  $2-Q^b-5-Q^s-3-R^{16}-6-R^{18}$  pyrazine,  
 $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$  pyridazine,  
 $2-Q^b-5-Q^s-4-R^{17}-6-R^{18}$  pyrimidine,  $5-Q^b-2-Q^s-4-R^{16}-6-R^{19}$  pyrimidine,  
5  $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  furan,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  furan,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  pyrrole,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  pyrrole,  
 $4-Q^b-2-Q^s-5-R^{19}$  imidazole,  $2-Q^b-4-Q^s-5-R^{17}$  imidazole,  
 $3-Q^b-5-Q^s-4-R^{16}$  isoxazole,  $5-Q^b-3-Q^s-4-R^{16}$  isoxazole,  
10  $2-Q^b-5-Q^s-4-R^{16}$  pyrazole,  $4-Q^b-2-Q^s-5-R^{19}$  thiazole, and  
 $2-Q^b-5-Q^s-4-R^{17}$  thiazole;

- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group  
 consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,  
 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,  
 15 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,  
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,  
 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,  
 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,  
 20 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally  $C(NR^{25})NR^{23}R^{24}$  with the proviso that  $R^{16}$ ,  
 $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or hydrido, with the proviso that no more than  
 one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$  and  $CH_2CH_2$ .

- 5 11. Compound of Claim 10 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 10 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 15 3-trifluoromethyl-2-pyridyl;

A is selected from the group consisting of  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

$R^1$  and  $X^O$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, 20 cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH,  $N(CH_3)$ ,  $OCH_2$ , and  $SCH_2$ ;

25 Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl, 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl, 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl, 30 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,

- 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-benzylamidodisulfonyl)phenyl,  
 5 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,  
 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 10 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 15 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,  
 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,  
 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl,  
 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 20 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl,  
 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl,  
 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl,  
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl,  
 phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 25 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or substituted phenyl when Z<sup>0</sup> is a bond;

Y<sup>0</sup> is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 30 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;



$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{16}$  or  $R^{19}$  is optionally  $C(NR^{25})NR^{23}R^{24}$  with the proviso that  $R^{16}$ ,

5  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

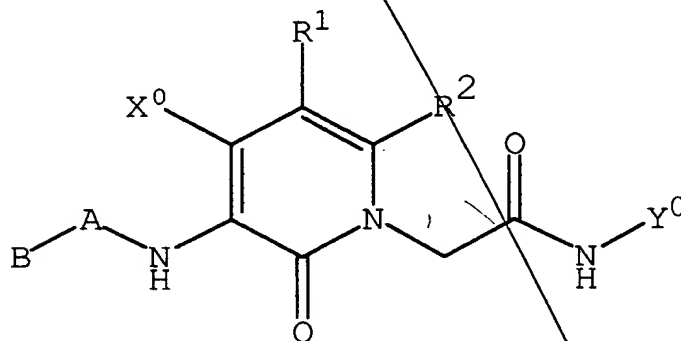
$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or hydrido;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

10  $Q^s$  is  $CH_2$ .

12. Compound of Claim 9 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

15 B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is

20 optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the

A is a bond or  $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$  wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and  $\text{W}^7$  is  $\text{N}(\text{R}^7)$ ;

~~R<sup>15</sup> is selected from the group consisting of hydrido, halo, alkyl, and aryl;~~

$$R^2 \text{ is } Z^0\text{-}Q;$$

$Z^0$  is a bond or  $W^0-(CH_2)_p$  wherein  $p$  is 0 or 1 and  $W^0$  is selected from the group consisting of O, S, and N(H);

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carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or alkyl;

$Q^s$  is  $CH_2$ .

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13. Compound of Claim 12 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ;

$X^0$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH,  $OCH_2$ ,  $SCH_2$ , and  $N(H)CH_2$ ;

$Q$  is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that  $Q$  is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl,

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$R^{20}, R^{21}, R^{23}, R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$  is  $CH_2$ .

14. Compound of Claim 13 or a pharmaceutically acceptable salt thereof, wherein;

- 5        B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 10 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

A is selected from the group consisting of  $CH_2$  and  $CH_2CH_2$ ;

- 15         $X^O$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

- $R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and 20 fluoro;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH, and  $OCH_2$ ;

- Q is selected from the group consisting of  
25 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 30 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,

- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,  
 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 5 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 10 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,  
 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,  
 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl,  
 15 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl,  
 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl,  
 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl,  
 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl,  
 20 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or a substituted phenyl when  $Z^0$  is a bond;

$Y^0$  is selected from the group consisting of:

- 25 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of

- 30 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,  
 hydroxymethyl, fluoro, chloro, and cyano;



$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

5  $Q^s$  is  $CH_2$ .

15. Compound of Claim 14 or a pharmaceutically acceptable salt thereof, wherein;

10 B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazolyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

A is selected from the group consisting of  $CH_2$  and  $CH_2CH_2$ ;

15  $X^o$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

20  $R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, and NH;

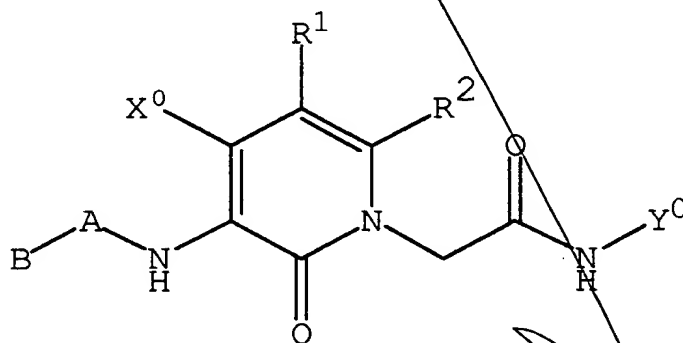
Q is selected from the group consisting of

3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,  
3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,  
25 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-benzylamidodisulfonyl)phenyl,  
30 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,  
3-amino-5-(N-ethylamidocarbonyl)phenyl,

- 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 5 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,  
 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,  
 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl,  
 10 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl,  
 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl, with  
 the proviso that Q is other than a phenyl or a substituted phenyl when Z<sup>0</sup> is a  
 bond;

- Y<sup>0</sup> is selected from the group consisting of 5-amidino-2-thienylmethyl,  
 15 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

16. A compound as recited in Claim 9 where said compound is selected from  
 the group of the Formula:



- 20 or a pharmaceutically acceptable salt thereof, wherein:

R<sup>2</sup> is 3-aminophenoxy, B is 3-chlorophenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>0</sup> is 4-  
 amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;

R<sup>2</sup> is 3-aminophenoxy, B is phenyl, A is CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup>  
 is hydrido, and X<sup>0</sup> is hydrido;

- 25 R<sup>2</sup> is phenylthio, B is 3-chlorophenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>0</sup> is 4-  
 amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;

$R^2$  is 3-amino-4-carboxy-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3,4-diamino-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

5  $R^2$  is phenoxy, B is 3-aminophenyl, A is  $C(O)NH$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is phenoxy, B is 3-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

10  $R^2$  is 3-(N-methylamino)-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-methylsulfonamido-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is phenylthio, B is 4-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

15  $R^2$  is 3-methylaminophenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenylthio, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

20  $R^2$  is 3-aminophenylamino, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is amino, and  $X^O$  is hydrido;

$R^2$  is 3-amino-2-thienyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is amino, and  $X^O$  is hydrido;

$R^2$  is phenylthio, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is amino, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

5  $R^2$  is 3-amino-2-thienyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

10  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

15  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

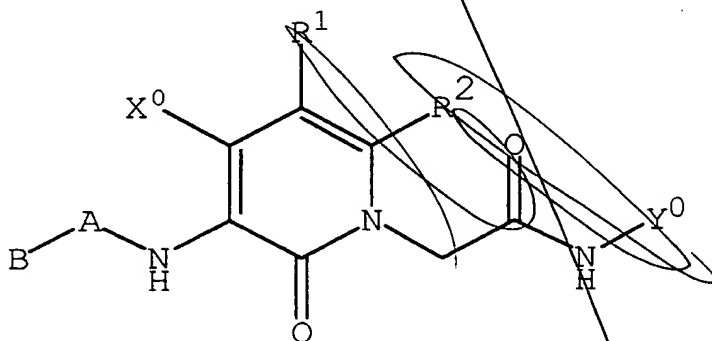
$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

20  $R^2$  is 3,5-diaminophenoxy, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

25  $R^2$  is 3-amidocarbonyl-5-aminophenylthio, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

17. Compound of Claim 2 of the Formula.



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point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $(R^7)NC(O)$  or  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond or  $W^0-(CH(R^{42}))_p$  wherein  $p$  is 0 or 1 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ ;

$R^{41}$  and  $R^{42}$  are independently hydrido or alkyl;

$Q$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon

adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is

optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

5  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

10  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, 15 arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, 20 carboxamido, halo, haloalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of 25 attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon

adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  $NR^{20}R^{21}$ ,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

18. Compound of Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butyryl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butyryl, 3-pentyl, 1-ethyl-2-propenyl,



- 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butylnyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl,
- 5 1-methyl-2-pentylnyl, 1-methyl-3-pentylnyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butylnyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl,
- 10 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentylnyl, 1-ethyl-3-pentylnyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of
- 15 group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

- $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy,
- 20 isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl,
- 25 N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

- A is selected from the group consisting of a bond, NH, N(CH<sub>3</sub>),
- 30 N(OH), CH<sub>2</sub>, CH<sub>3</sub>CH, CF<sub>3</sub>CH, NHC(O), N(CH<sub>3</sub>)C(O), C(O)NH, C(O)N(CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CHCH<sub>2</sub>, and CF<sub>3</sub>CHCH<sub>2</sub>;

$R^1$  and  $X^O$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^O-Q$ ;

$Z^O$  is selected from the group consisting of a bond, O, S, NH,  $N(CH_3)$ ,  $OCH_2$ ,  $SCH_2$ ,  $N(H)CH_2$ , and  $N(CH_3)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^O$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^O$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl,

N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of

- 5 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl,
- 10 N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl,
- 15 N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidossulfonyl, N-(2-chlorobenzyl)amidossulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl,
- 20 N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxy, benzyloxy, 4-bromo-3-fluorophenoxy, 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino,
- 25 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl, 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy,
- 30 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy, 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy, 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy,
- 35 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy,

- 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy,  
 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy,  
 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy,  
 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy,  
 5 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy,  
 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,  
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,  
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,  
 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,  
 10 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,  
 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,  
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,  
 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,  
 15 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy,  
 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy,  
 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

$Y^0$  is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 20 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>-6-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-4-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 25 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,

2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and

2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, hydrido, C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the proviso that no more than one of R<sup>20</sup> and R<sup>21</sup> is hydroxy at the same time and with the further proviso that no more than one of R<sup>23</sup> and R<sup>24</sup> is hydroxy at the same time;

R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q<sup>s</sup> is selected from the group consisting of a bond, CH<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>.

19. Compound of Claim 18 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl,

- 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl,  
2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl,  
2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl,  
3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl,  
5 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and  
4-aminobutyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{NHC(O)}$ ,  
 $\text{CH}_2\text{CH}_2$ ,  $\text{CH}_2\text{CH}_2\text{CH}_2$ , and  $\text{CH}_3\text{CHCH}_2$ ;

- $\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of  
10 hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino,  
cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino,  
methylthio, trifluoromethoxy, fluoro, and chloro;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

- $\text{Z}^0$  is selected from the group consisting of a bond, O, S, NH,  $\text{N}(\text{CH}_3)$ ,  
15  $\text{OCH}_2$ , and  $\text{SCH}_2$ ;

- Q is selected from the group consisting of  
3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,  
3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl,  
3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl,  
20 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl,  
3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,  
25 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-benzylamidosulfonyl)phenyl,  
3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,  
3-amino-5-(N-ethylamidocarbonyl)phenyl,  
3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
30 3-amino-5-(N-propylamidocarbonyl)phenyl,  
3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,

- 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 5 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,  
 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,  
 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl,  
 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 10 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl,  
 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl,  
 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl,  
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl,  
 phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 15 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or substituted phenyl when Z<sup>0</sup> is a bond;

Y<sup>0</sup> is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 20 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

- R<sup>16</sup> and R<sup>19</sup> are independently selected from the group consisting of  
 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,  
 25 hydroxymethyl, fluoro, chloro, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> with the proviso that R<sup>16</sup>,  
 R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

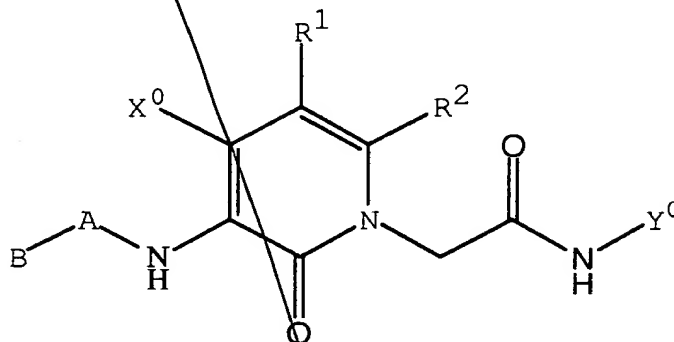
R<sup>17</sup> and R<sup>18</sup> are independently selected from the group consisting of  
 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is hydrido or  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^s$  is  $CH_3$ .

5 20. Compound of Claim 17 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B  
10 is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino,  
15 alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

20  $R^7$  is hydrido or alkyl;



$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond or  $W^0-(CH_2)_p$  wherein  $p$  is 0 or 1 and  $W^0$  is selected from the group consisting of O, S, and N(H);

$Q$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that  $Q$  is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido and alkyl;

$Q^s$  is  $CH_2$ .

21. Compound of Claim 20 or a pharmaceutically acceptable salt thereof, wherein;

$B$  is selected from the group consisting of hydrido, ethyl, 2-propenyl,

- 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butyryl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentyryl, 3-pentyryl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentyryl, 1-methyl-3-pentyryl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptyryl, 3-heptyryl, 4-heptyryl, 5-heptyryl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentyryl, 1-ethyl-3-pentyryl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

- $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH, N(CH<sub>3</sub>), CH<sub>2</sub>, CH<sub>3</sub>CH, and CH<sub>2</sub>CH<sub>2</sub>;

- A is optionally selected from the group consisting of CH<sub>2</sub>N(CH<sub>3</sub>), CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>), and CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>) with the proviso that B is hydrido;

- $X^O$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

5  $Z^0$  is selected from the group consisting of a bond, O, S, NH,  $OCH_2$ ,  $SCH_2$ , and  $N(H)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said  
 10 phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  
 15  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl,  
 20 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl,  
 25 N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamid sulfonyl, N-(2-chlorobenzyl)amid sulfonyl,

N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$  is  $CH_2$ .

22. Compound of Claim 21 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_3\text{CH}$ , and  $\text{CH}_2\text{CH}_2$ ;

$\text{X}^0$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

$\text{Z}^0$  is selected from the group consisting of a bond, O, S, NH, and  $\text{OCH}_2$ ;

Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,

- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,  
 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 5 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 10 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,  
 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,  
 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl,  
 15 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl,  
 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl,  
 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl,  
 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl,  
 20 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or a substituted phenyl when  $Z^0$  is a bond;

$Y^0$  is selected from the group consisting of:

- 25 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

R<sup>16</sup> and R<sup>19</sup> are independently selected from the group consisting of

- 30 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,  
 hydroxymethyl, fluoro, chloro, and cyano;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and methyl;

$Q^s$  is  $CH_2$ .

23. Compound of Claim 22 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ;

$X^O$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$R^2$  is  $Z^O-Q$ ;

$Z^O$  is selected from the group consisting of a bond, O, and S, NH;

Q is selected from the group consisting of

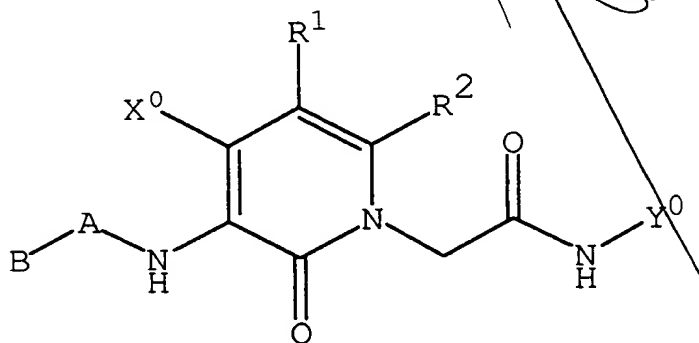


- 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,  
 5 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-benzylamidodisulfonyl)phenyl,  
 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,  
 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 10 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 15 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,  
 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,  
 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylamino-phenyl,  
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl,  
 20 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl, with  
 the proviso that Q is other than a phenyl or a substituted phenyl when Z<sup>0</sup> is a  
 bond;

Y<sup>0</sup> is selected from the group consisting of 5-amidino-2-thienylmethyl,  
 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

25

24. A compound as recited in Claim 17 where said compound is selected from  
 the group of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

$R^2$  is 3-aminophenoxy, B is 2,2,2-trifluoroethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenoxy, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 5-amino-2-fluorophenoxy, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 2-methyl-3-aminophenoxy, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenoxy, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

15  $R^2$  is 3-aminophenoxy, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-aminophenoxy, B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-aminophenoxy, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 3-pentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is hydrido, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenoxy, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenoxy, B is 2-propyl, A is  $CH_3CH$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is propyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 6-amidocarbonylhexyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

15  $R^2$  is 3-aminophenoxy, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-aminophenoxy, B is 3-hydroxypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-aminophenoxy, B is 1-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 2-methoxyethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-carboxyphenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2,2,2-trifluoroethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

15  $R^2$  is 5-amino-4-fluoro-3-carboxy-2-thienyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 4-methyl-3-amino-5-carboxy-2-thienyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-carboxy-2-thienyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-carboxy-2-thienyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

~~R<sup>2</sup> is 3-amino-5-carboxy-2-thienyl, B is 2-butyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;~~

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is hydrido, A is  $CH_2$ ,  $Y^O$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propyl, A is  $CH_3CH$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-carboxy-2-thienyl, B is propyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 6-amidocarbonylhexyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25 R<sup>2</sup> is 3-amino-5-carboxy-2-thienyl, B is tert-butyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;

~~R<sup>2</sup> is 3-amino-5-carboxy-2-thienyl, B is 2-methylpropyl, A is single bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;~~

~~R<sup>2</sup> is 3-amino-5-carboxy-2-thienyl, B is 1-methoxy-2-propyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;~~

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25 R<sup>2</sup> is 2-methyl-3-amino-5-carboxyphenylthio, B is isopropyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

5  $R^2$  is 3-amino-5-carboxyphenylthio, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-carboxyphenylthio, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

15  $R^2$  is 3-amino-5-carboxyphenylthio, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is 3-pentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-carboxyphenylthio, B is hydrido, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is 2-methypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-carboxyphenylthio, B is 2-propyl, A is  $CH_3CH$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

~~R<sup>2</sup> is 3-amino-5-carboxyphenylthio, B is 6-amidocarbonylhexyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;~~

$R^2$  is 3-amino-5-carboxyphenylthio, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

~~R<sup>2</sup> is 3-amino-5-carboxyphenylthio, B is 2-methylpropyl, A is single bond,  
Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;~~

15  $R^2$  is 3-amino-5-carboxyphenylthio, B is 1-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-carboxyphenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-carboxy-5-carboxyphenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

360



$R^2$  is 3-amidocarbonyl-5-aminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

15  $R^2$  is 3-amino-5-carboxyphenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenoxy, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

5  $R^2$  is 3-amidocarbonyl-5-amino-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

15  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3,5-diamino-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

20  $R^2$  is 3-amidocarbonyl-5-amino-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3,5-diamino-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

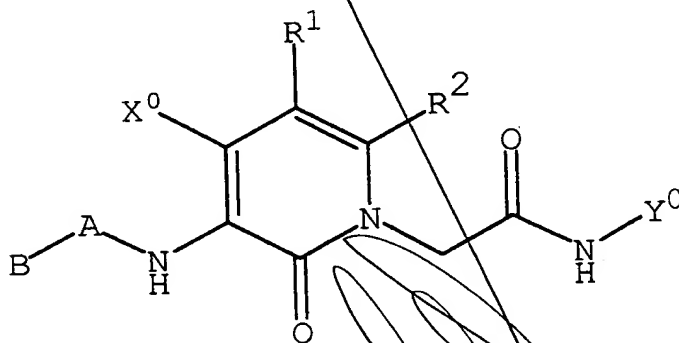
5  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3,5-diaminophenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido.

15 25. Compound of Claim 2 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

B is a C3-C7 cycloalkyl or a C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or

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nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocycliloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

$R^{33}$  and  $R^{34}$  independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl,



$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

26. Compound of Claim 25 or a pharmaceutically acceptable salt thereof,  
wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl,  
oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl,  
5 cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl,  
bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl,  
4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl,  
3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl,  
2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl,  
10 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl,  
2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl,  
2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is  
optionally substituted with  $R^{33}$ , ring carbons and a nitrogen adjacent to the  
carbon atom at the point of attachment are optionally substituted with  $R^9$  or  
15  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from  
the point of attachment is optionally substituted with  $R^{10}$ , and a ring carbon or  
nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of  
attachment is optionally substituted with  $R^{12}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting  
20 of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl,  
methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino,  
N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,  
trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,  
2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro,  
25 chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamid sulfonyl,  
N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,  
2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl,  
N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of  
30 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl,





- 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino,  
 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino,  
 phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,  
 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy,  
 5 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl,  
 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy,  
 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy,  
 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy,  
 10 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and  
 3-trifluoromethylthiophenoxy;

- $R^{33}$  is selected from the group consisting of hydrido, amidino,  
 guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,  
 methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino,  
 15 dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,  
 trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,  
 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro,  
 chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl,  
 N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,  
 20 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl,  
 amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano,  
 and  $Q^b$ ;

- A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  
 $N(OH)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $N(CH_3)C(O)$ ,  $C(O)NH$ ,  
 25  $C(O)N(CH_3)$ ,  $CH_2CH_2$ ,  $CH_2CH_2CH_2$ ,  $CH_3CHCH_2$ , and  $CF_3CHCH_2$ ;

- $R^1$  and  $X^O$  are independently selected from the group consisting of  
 hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl,  
 methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl,  
 pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl,  
 30 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy,  
 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH, N(CH<sub>3</sub>), OCH<sub>2</sub>, SCH<sub>2</sub>, N(H)CH<sub>2</sub>, and N(CH<sub>3</sub>)CH<sub>2</sub>;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$Y^0$  is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>-6-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-4-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,

4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

5 R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group

consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,  
 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,  
 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,  
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,  
 10 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,  
 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,  
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> with the proviso that R<sup>16</sup>,

15 R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

Q<sup>b</sup> is C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> or hydrido, with the proviso that no more than  
 one of R<sup>23</sup> and R<sup>24</sup> is hydroxy at the same time;

R<sup>23</sup>, R<sup>24</sup>, and R<sup>25</sup> are independently selected from the group consisting of  
 hydrido, methyl, ethyl, and hydroxy;

20 Q<sup>s</sup> is selected from the group consisting of a bond, CH<sub>2</sub> and CH<sub>2</sub>CH<sub>2</sub>.

27. Compound of Claim 26 or a pharmaceutically acceptable salt thereof,  
 wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl,  
 25 cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl,  
 1-pyrrolidinyl, 1-piperidinyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl,  
 azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl,  
 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl,



- 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 5 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl,  
 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl,  
 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl,  
 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl,  
 10 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl,  
 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl,  
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl,  
 phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 15 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or substituted phenyl when Z<sup>0</sup> is a bond;

Y<sup>0</sup> is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 20 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

R<sup>16</sup> and R<sup>19</sup> are independently selected from the group consisting of  
 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,  
 hydroxymethyl, fluoro, chloro, and cyano;

- 25 R<sup>16</sup> or R<sup>19</sup> is optionally C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> with the proviso that R<sup>16</sup>,  
 R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

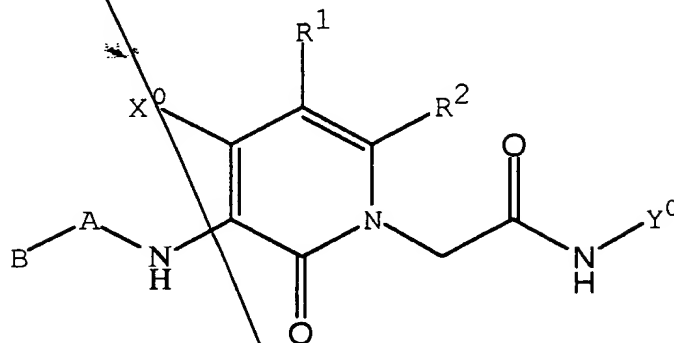
R<sup>17</sup> and R<sup>18</sup> are independently selected from the group consisting of  
 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q<sup>b</sup> is C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> or hydrido;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^3$  is  $CH_2$ .

28. Compound of Claim 25 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is a C3-C7 cycloalkyl or a C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;





ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that  $Q$  is other than a phenyl when  $Z^0$  is a bond;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or alkyl;

5

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R<sup>33</sup>, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R<sup>9</sup> or R<sup>13</sup>, a ring carbon or nitrogen adjacent to the R<sup>9</sup> position and two atoms from the point of attachment are optionally substituted with R<sup>10</sup>, and a ring carbon or nitrogen atom adjacent to the R<sup>13</sup> position and two atoms from the point of attachment is optionally substituted with R<sup>12</sup>;

25

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of

- hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl,  
 N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl,  
 N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl,  
 5 N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl,  
 N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl,  
 N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl,  
 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl,  
 N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl,  
 10 N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy,  
 hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy,  
 carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl,  
 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino,  
 dimethylamino, methoxyamino, amidosulfonyl, N-methylamidosulfonyl,  
 15 N,N-dimethylamidosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro,  
 chloro, bromo, and cyano;

- $R^{33}$  is selected from the group consisting of hydrido, amidino,  
 guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino,  
 dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl,  
 20 pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-  
 methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  
 $CH_3CH$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

- $X^O$  is selected from the group consisting of hydrido, hydroxy, amino,  
 25 amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro,  
 and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy,  
 hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl,  
 trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

- 30  $R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH,  $OCH_2$ ,  $SCH_2$ , and  $N(H)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$Y^0$  is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, and ethyl;

5

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

15

~~R<sup>1</sup> is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;~~

20

$Z^0$  is selected from the group consisting of a bond, O, S, NH, and  $OCH_2$ ;

25

3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl,  
3-amino-5-(N-benzylamidocarbonyl)phenyl,  
3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-benzylamidosulfonyl)phenyl,

- 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,  
 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 5 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 10 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,  
 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,  
 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl,  
 15 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl,  
 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl,  
 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl,  
 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl,  
 20 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 proviso that Q is other than a phenyl or a substituted phenyl when Z<sup>0</sup> is a bond;

Y<sup>0</sup> is selected from the group consisting of:

- 25 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

- R<sup>16</sup> and R<sup>19</sup> are independently selected from the group consisting of  
 30 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy,  
 hydroxymethyl, fluoro, chloro, and cyano;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

5  $Q^s$  is  $CH_2$ .

31. Compound of Claim 30 or a pharmaceutically acceptable salt thereof, wherein;

10 B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

A is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$  and  $CH_2CH_2CH_2$ ;

15  $X^O$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

20  $R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, and S, NH;

Q is selected from the group consisting of

3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,

3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,

25 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,

3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,

3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,

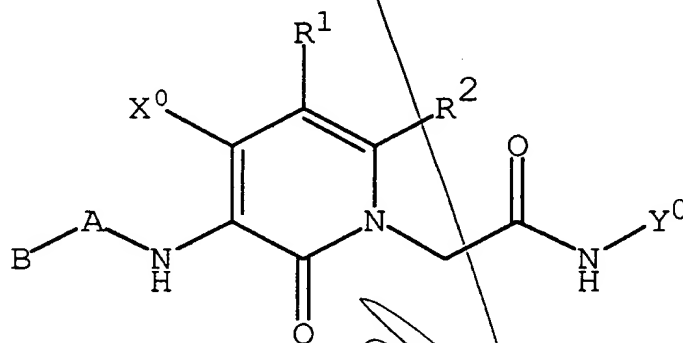
3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,

3-amino-5-(N-benzylamidodisulfonyl)phenyl,

30 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl,

- 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 5 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,  
 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,  
 10 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl,  
 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl,  
 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl, with  
 the proviso that Q is other than a phenyl or a substituted phenyl when Z<sup>0</sup> is a  
 bond;  
 15 Y<sup>0</sup> is selected from the group consisting of 5-amidino-2-thienylmethyl,  
 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

32. A compound as recited in Claim 25 where said compound is selected from  
 the group of the Formula:



20

or a pharmaceutically acceptable salt thereof, wherein;

R<sup>2</sup> is 3-aminophenoxy, B is cyclopropyl, A is single bond, Y<sup>0</sup> is 4-  
 amidinobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;

- R<sup>2</sup> is 3-aminophenoxy, B is cyclobutyl, A is single bond, Y<sup>0</sup> is 4-amidino-  
 25 2-fluorobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;



~~R<sup>2</sup> is 3-aminophenoxy, B is cyclopropyl, A is single bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;~~

~~R<sup>2</sup> is 3-aminophenoxy, B is cyclobutyl, A is single bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;~~

~~R<sup>2</sup> is 3-aminophenoxy, B is cyclopropyl, A is CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl,  
R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;~~

$R^2$  is 3-aminophenoxy, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

15 R<sup>2</sup> is 3-aminophenoxy, B is cyclopentyl, A is single bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;

R<sup>2</sup> is 3-aminophenoxy, B is cyclohexyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;

20 R<sup>2</sup> is 2-hydroxyphenoxy, B is cyclobutyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is aminomethyl, and X<sup>0</sup> is hydrido;

$R^2$  is phenoxy, B is cyclobutyl, A is single bond,  $Y^O$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 2,6-dichlorophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

25 R<sup>2</sup> is 3-aminophenoxy, B is cyclopropyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is chloro, and X<sup>0</sup> is hydrido;

$R^2$  is 3-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenoxy, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is cyclopropyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

15  $R^2$  is 3-aminophenoxy, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenoxy, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

20  $R^2$  is 3-aminophenoxy, B is cyclohexyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 2-hydroxyphenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

25  $R^2$  is 2,6-dichlorophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

15  $R^2$  is 3-amino-5-carboxyphenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

R<sup>2</sup> is 3,5-diaminophenoxy, B is cyclobutyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

15  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenylthio, B is cyclobutyl, A is single bond, Y<sup>o</sup> is 4-amidinobenzyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

$R^2$  is 3,5-diaminophenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

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$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenylthio, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3,5-diamino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxy-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-amidocarbonyl-5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

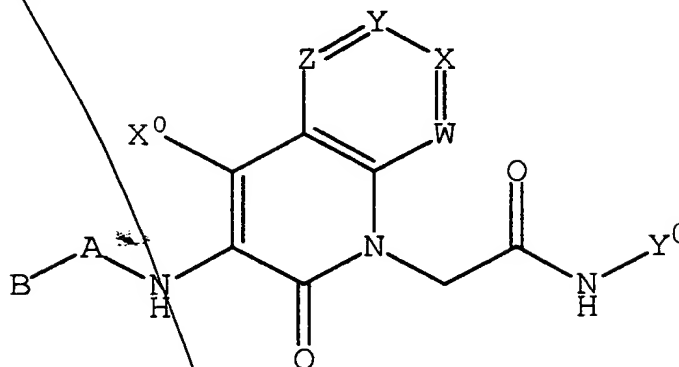
15  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3,5-diamino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-carboxy-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido.

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## 33. Compound of Claim 2 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

- $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

- B is optionally selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is a C3-C7 cycloalkyl or C4-C6 saturated heterocyclyl, wherein each  
 ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring  
 carbon at the point of attachment of B to A is optionally substituted with oxo  
 provided that no more than one ring carbon is substituted by oxo at the same  
 5 time, ring carbons and nitrogen adjacent to the carbon atom at the point of  
 attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen  
 adjacent to the  $R^9$  position and two atoms from the point of attachment is  
 optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$   
 position and two atoms from the point of attachment is optionally substituted  
 10 with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment  
 and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring  
 carbon or nitrogen three atoms from the point of attachment and adjacent to the  
 $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen  
 four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$   
 15 positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting  
 of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio,  
 alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy,  
 halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy,  
 20 carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of  
 hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl,  
 cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy,  
 cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy,  
 25 heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino,  
 arylamino, aralkylamino, heteroarylamino, heteroaralkylamino,  
 heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl,  
 arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl,



aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

A is a bond or  $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$  wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and  $\text{W}^7$  is  $(\text{R}^7)\text{NC}(\text{O})$  or  $\text{N}(\text{R}^7)$ ;

$\text{R}^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$\text{R}^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$\text{X}^0$  is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

W, X, Y, and Z are independently selected from the group consisting of  $\text{C}(\text{R}^9)$ ,  $\text{C}(\text{R}^{10})$ ,  $\text{C}(\text{R}^{11})$ ,  $\text{C}(\text{R}^{12})$ , N,  $\text{N}(\text{R}^{10})$ , O, S and a bond with the proviso that one of W, X, Y, and Z is independently selected to be a bond when one of W, X, Y, and Z is O or S, with the further proviso that no more than one of W, X, Y, and Z is optionally O or S, and with the additional proviso that no more than three of W, X, Y, and Z are optionally N or  $\text{N}(\text{R}^{10})$ ;

$\text{Y}^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $\text{Q}^s$ , a carbon two or three contiguous atoms from the point of attachment of  $\text{Q}^s$  to the phenyl or heteroaryl ring is substituted by  $\text{Q}^b$ , a carbon adjacent to the point of attachment of  $\text{Q}^s$  is optionally substituted by  $\text{R}^{17}$ , another carbon adjacent to the point of attachment of  $\text{Q}^s$  is optionally substituted by  $\text{R}^{18}$ , a carbon adjacent to  $\text{Q}^b$  is optionally substituted by  $\text{R}^{16}$ , and another carbon adjacent to  $\text{Q}^b$  is optionally substituted by  $\text{R}^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

34. Compound of Claim 33 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent

to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butylnyl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butylnyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butylnyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butylnyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl,

1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment is optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen atom adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , and a ring carbon or nitrogen atom adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

$R^9$  and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,

- 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;
- 5  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl,
- 10 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl,
- 15 N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl,
- 20 N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxy, benzyloxy, 4-bromo-3-fluorophenoxy,
- 25 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl,
- 30 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy, 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy, 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy,

- 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy, 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy, 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy, 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy, 5 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy, 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino, 10 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy, 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy, 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl, 15 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy, 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

- 20 A is selected from the group consisting of a bond, NH, N(CH<sub>3</sub>), N(OH), CH<sub>2</sub>, CH<sub>3</sub>CH, CF<sub>3</sub>CH, NHC(O), N(CH<sub>3</sub>)C(O), C(O)NH, C(O)N(CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CHCH<sub>2</sub>, and CF<sub>3</sub>CHCH<sub>2</sub>;

- A is optionally selected from the group consisting of CH<sub>2</sub>N(CH<sub>3</sub>), CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>), and CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>) with the 25 proviso that B is hydrido;

- X<sup>O</sup> is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 30 methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

- W, X, Y, and Z are independently selected from the group consisting of  
 CH, N, CF, CCl, C-CN, C-CH<sub>3</sub>, C-CH<sub>2</sub>CH<sub>3</sub>, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>,  
 C-CH<sub>2</sub>NHCH<sub>3</sub>, C-NHCH<sub>3</sub>, C-N(CH<sub>3</sub>)<sub>2</sub>, C-CH(NH<sub>2</sub>)CH<sub>3</sub>,  
 C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, C-NHOCH<sub>3</sub>, C-NHOCH<sub>2</sub>CH<sub>3</sub>, C-C(NH)NH<sub>2</sub>,  
 5 C-C(NOH)NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CH<sub>2</sub>CH<sub>2</sub>OH, C-CH(OH)CH<sub>3</sub>,  
 C-OCH<sub>3</sub>, C-OCH<sub>2</sub>CH<sub>3</sub>, C-CO<sub>2</sub>H, C-CO<sub>2</sub>CH<sub>3</sub>, C-C(O)NH<sub>2</sub>,  
 C-C(O)NHCH<sub>3</sub>, C-C(O)N(CH<sub>3</sub>)<sub>2</sub>, N-benzylamidocarbonyl-C,  
 N-(2-chlorobenzyl)amidocarbonyl-C, N-(3-fluorobenzyl)amidocarbonyl-C,  
 N-(2-trifluoromethylbenzyl)amidocarbonyl-C,  
 10 N-(1-phenylethyl)amidocarbonyl-C,  
 N-(1-methyl-1-phenylethyl)amidocarbonyl-C, N-benzylamidosulfonyl-C,  
 N-(2-chlorobenzyl)amidosulfonyl-C, N-ethylamidocarbonyl-C,  
 N-isopropylamidocarbonyl-C, N-propylamidocarbonyl-C,  
 N-isobutylamidocarbonyl-C, N-(2-butyl)amidocarbonyl-C,  
 15 N-cyclobutylamidocarbonyl-C, N-cyclopentylamidocarbonyl-C,  
 N-cyclohexylamidocarbonyl-C, C-NH(O)CCH<sub>3</sub>, and C-NH(O)CCF<sub>3</sub>;

Y<sup>0</sup> is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
 20 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>-6-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-4-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 25 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,

3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group  
 5 consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,  
 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,  
 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,  
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,  
 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
 10 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,  
 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,  
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>,  
 C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the proviso that R<sup>16</sup>,  
 15 R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, hydrido,  
 C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the proviso that no  
 more than one of R<sup>20</sup> and R<sup>21</sup> is hydroxy at the same time and with the further  
 proviso that no more than one of R<sup>23</sup> and R<sup>24</sup> is hydroxy at the same time;

20 R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> are independently selected from the  
 group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q<sup>s</sup> is selected from the group consisting of a bond, CH<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>.

35. Compound of Claim 34 or a pharmaceutically acceptable salt thereof,  
 25 wherein;

B is selected from the group consisting of 2-aminophenyl,  
 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl,



- 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl,  
 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl,  
 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl,  
 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl,  
 5 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl,  
 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and  
 3-trifluoromethyl-2-pyridyl;

- B is optionally selected from the group consisting of hydrido, ethyl,  
 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,  
 10 (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl,  
 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl,  
 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl,  
 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl,  
 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl,  
 15 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl,  
 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl,  
 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

- B is optionally selected from the group consisting of cyclopropyl,  
 cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl,  
 20 2-(2R)-bicyclo[2.2.1]-heptyl, 1-pyrrolidinyl, 1-piperidinyl, oxetan-3-yl,  
 azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl,  
 bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl,  
 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl,  
 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl,  
 25 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl,  
 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl,  
 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl,  
 2-tetrahydrothienyl, and 3-tetrahydrothienyl;

- A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{NHC(O)}$ ,  
 30  $\text{CH}_2\text{CH}_2$ , and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

$\text{X}^\circ$  is selected from the group consisting of hydrido, hydroxy, amino,  
 amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl,  
 trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio,  
 trifluoromethoxy, fluoro, and chloro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-OH, C-CH<sub>2</sub>OH, C-CO<sub>2</sub>H, and C-C(O)NH<sub>2</sub>;

- X and Y are independently selected from the group consisting of CH, N,
- 5 CF, C-CN, C-CH<sub>3</sub>, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-CH<sub>2</sub>NHCH<sub>3</sub>, C-NHCH<sub>3</sub>, C-CH(NH<sub>2</sub>)CH<sub>3</sub>, C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, C-NHOCH<sub>3</sub>, C-C(NH)NH<sub>2</sub>, C-C(NO<sub>2</sub>)NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CH<sub>2</sub>CH<sub>2</sub>OH, C-CH(OH)CH<sub>3</sub>, C-OCH<sub>3</sub>, C-CO<sub>2</sub>H, C-C(O)NH<sub>2</sub>, C-C(O)NHCH<sub>3</sub>, C-CH<sub>2</sub>CO<sub>2</sub>H, N-benzylamidocarbonyl-C, N-(2-chlorobenzyl)amidocarbonyl-C,
- 10 N-(3-fluorobenzyl)amidocarbonyl-C, N-(2-trifluoromethylbenzyl)amidocarbonyl-C, N-(1-phenylethyl)amidocarbonyl-C, N-(1-methyl-1-phenylethyl)amidocarbonyl-C, N-benzylamidosulfonyl-C, N-(2-chlorobenzyl)amidosulfonyl-C, N-ethylamidocarbonyl-C,
- 15 N-isopropylamidocarbonyl-C, N-propylamidocarbonyl-C, N-isobutylamidocarbonyl-C, N-(2-butyl)amidocarbonyl-C, N-cyclobutylamidocarbonyl-C, N-cyclopentylamidocarbonyl-C, N-cyclohexylamidocarbonyl-C;

Y<sup>0</sup> is selected from the group consisting of:

- 20 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup> pyridine,  
3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

- R<sup>16</sup> and R<sup>19</sup> are independently selected from the group consisting of
- 25 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R<sup>16</sup> or R<sup>19</sup> is optionally C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

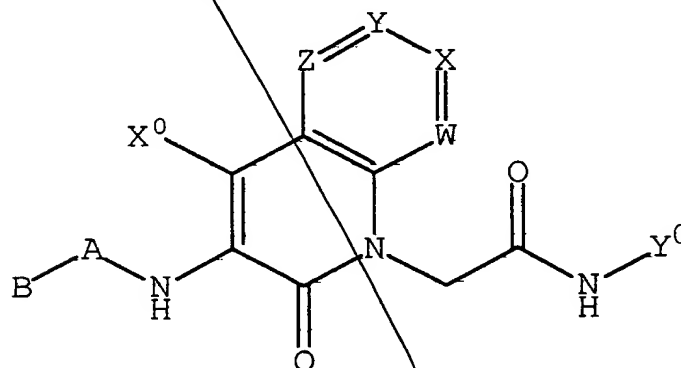
$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or hydrido;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

5  $Q^s$  is  $CH_2$

36. Compound of Claim 33 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

10 B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

15  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl,

20

alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is optionally a C3-C7 cycloalkyl or C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$X^0$  is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

W, X, Y, and Z are independently selected from the group consisting of  $C(R^9)$ ,  $C(R^{10})$ ,  $C(R^{11})$ ,  $C(R^{12})$ , and N with the proviso that no more than three of W, X, Y, and Z are N at the same time;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three contiguous atoms from the point of attachment of  $Q^s$  to the phenyl or heteroaryl ring is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently hydrido or alkyl;

$Q^s$  is  $CH_2$ .

37. Compound of Claim 36 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidulosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butylnyl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , ring carbons and a nitrogen

adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment are optionally substituted with  $R^{10}$ , and a ring carbon or nitrogen atom adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

$R^9$  and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidodisulfonyl, N-(2-chlorobenzyl)amidodisulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of a bond, NH, N(CH<sub>3</sub>), CH<sub>2</sub>, CH<sub>3</sub>CH, and CH<sub>2</sub>CH<sub>2</sub>;



A is optionally selected from the group consisting of  $\text{CH}_2\text{N}(\text{CH}_3)$ ,  $\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$ ,  $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_3)$ , and  $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$  with the proviso that B is hydrido;

5  $\text{X}^0$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-OH, C-CH<sub>2</sub>OH, C-CO<sub>2</sub>H, and C-C(O)NH<sub>2</sub>;

10 X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-CH<sub>3</sub>, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-CH<sub>2</sub>NHCH<sub>3</sub>, C-NHCH<sub>3</sub>, C-CH(NH<sub>2</sub>)CH<sub>3</sub>, C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, C-NHOCH<sub>3</sub>, C-C(NH)NH<sub>2</sub>, C-C(NO<sub>2</sub>)NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CH<sub>2</sub>CH<sub>2</sub>OH, C-CH(OH)CH<sub>3</sub>, C-OCH<sub>3</sub>, C-CO<sub>2</sub>H, C-C(O)NH<sub>2</sub>, C-C(O)NHCH<sub>3</sub>, C-CH<sub>2</sub>CO<sub>2</sub>H,  
15 N-benzylamidocarbonyl-C, N-(2-chlorobenzyl)amidocarbonyl-C, N-(3-fluorobenzyl)amidocarbonyl-C, N-(2-trifluoromethylbenzyl)amidocarbonyl-C, N-(1-phenylethyl)amidocarbonyl-C, N-(1-methyl-1-phenylethyl)amidocarbonyl-C, N-benzylamidosulfonyl-C,  
20 N-(2-chlorobenzyl)amidosulfonyl-C, N-ethylamidocarbonyl-C, N-isopropylamidocarbonyl-C, N-propylamidocarbonyl-C, N-isobutylamidocarbonyl-C, N-(2-butyl)amidocarbonyl-C, N-cyclobutylamidocarbonyl-C, N-cyclopentylamidocarbonyl-C, N-cyclohexylamidocarbonyl-C;

25  $\text{Y}^0$  is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$  benzene,  
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-3-R^{19}$  pyridine,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene,  
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$  pyridine,  $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  furan,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  furan,

3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>,

10 C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the proviso that said Q<sup>b</sup> group is bonded directly to a carbon atom;

R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q<sup>s</sup> is CH<sub>2</sub>.

15

38. Compound of Claim 37 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

25 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl,

3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl,  
 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl,  
 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl,  
 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl,  
 5 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl,  
 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl,  
 cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl,  
 oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-  
 10 piperidinyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_3\text{CH}$ , and  
 $\text{CH}_2\text{CH}_2$ ;

$\text{X}^{\text{O}}$  is selected from the group consisting of hydrido, hydroxy, amino,  
 amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and  
 15 fluoro;

W and Z are independently selected from the group consisting of CH,  
 N, CF, CCl, C-CN, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CO<sub>2</sub>H, and C-  
 C(O)NH<sub>2</sub>;

X and Y are independently selected from the group consisting of CH, N,  
 20 CF, C-CN, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, C-C(NH)NH<sub>2</sub>,  
 C-C(NO<sub>2</sub>)NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CH<sub>2</sub>CH<sub>2</sub>OH, C-CO<sub>2</sub>H, C-C(O)NH<sub>2</sub>,  
 C-CH<sub>2</sub>CO<sub>2</sub>H, N-benzylamidocarbonyl-C,  
 N-(2-chlorobenzyl)amidocarbonyl-C, N-(3-fluorobenzyl)amidocarbonyl-C,  
 N-(2-trifluoromethylbenzyl)amidocarbonyl-C,  
 25 N-(1-phenylethyl)amidocarbonyl-C,  
 N-(1-methyl-1-phenylethyl)amidocarbonyl-C, N-benzylamidosulfonyl-C,  
 N-(2-chlorobenzyl)amidosulfonyl-C, N-ethylamidocarbonyl-C,  
 N-isopropylamidocarbonyl-C, N-propylamidocarbonyl-C,  
 N-isobutylamidocarbonyl-C, N-(2-butyl)amidocarbonyl-C,  
 30 N-cyclobutylamidocarbonyl-C, N-cyclopentylamidocarbonyl-C,  
 N-cyclohexylamidocarbonyl-C;

$\text{Y}^{\text{O}}$  is selected from the group consisting of:



2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_3\text{CH}$ , and  $\text{CH}_2\text{CH}_2$ ;

$\text{X}^{\text{O}}$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CO<sub>2</sub>H, and C-C(O)NH<sub>2</sub>;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-NH<sub>2</sub>, C-CH<sub>2</sub>NH<sub>2</sub>, C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, C-C(NH)NH<sub>2</sub>, C-C(NOH)NH<sub>2</sub>, C-OH, C-CH<sub>2</sub>OH, C-CH<sub>2</sub>CH<sub>2</sub>OH, C-CO<sub>2</sub>H, C-C(O)NH<sub>2</sub>, C-CH<sub>2</sub>CO<sub>2</sub>H, N-benzylamidocarbonyl-C, N-(2-chlorobenzyl)amidocarbonyl-C, N-(3-fluorobenzyl)amidocarbonyl-C, N-(2-trifluoromethylbenzyl)amidocarbonyl-C, N-(1-phenylethyl)amidocarbonyl-C, N-(1-methyl-1-phenylethyl)amidocarbonyl-C, N-benzylamidosulfonyl-C, N-(2-chlorobenzyl)amidosulfonyl-C, N-ethylamidocarbonyl-C, N-isopropylamidocarbonyl-C, N-propylamidocarbonyl-C, N-isobutylamidocarbonyl-C, N-(2-butyl)amidocarbonyl-C, N-cyclobutylamidocarbonyl-C, N-cyclopentylamidocarbonyl-C, N-cyclohexylamidocarbonyl-C;

$\text{Y}^{\text{O}}$  is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

5 or a pharmaceutically acceptable salt thereof, wherein;

B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is  $\text{C-NH}_2$ , Y is  $\text{C-CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is phenyl, A is  $\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is  $\text{C}-\text{CH}_2\text{NH}_2$ , Y is  $\text{C}-\text{CO}_2\text{H}$ , Z is  $\text{CH}$ , and  $\text{X}^0$  is hydrido;

10 B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^0$  is hydrido;

B is 2-imidazolyl, A is  $\text{CH}_2\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is  $\text{C}-\text{NH}_2$ , X is  $\text{C}-\text{CH}_2\text{OH}$ , Y is  $\text{C}-\text{NH}_2$ , Z is  $\text{CH}$ , and  $\text{X}^0$  is hydrido;

15 B is 2,2,2-trifluoroethyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, W is CH,  
X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and X<sup>0</sup> is hydrido;

B is (S)-2-butyl, A is single bond, Y<sup>0</sup> is 4-amidinobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and X<sup>o</sup> is hydrido;

B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^0$  is hydrido;

20 B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is  $C-NH_2$ , X is  $C-CH_2OH$ , Y is  $C-NH_2$ , Z is CH, and  $X^O$  is hydrido;

B is hydrido, A is  $\text{CH}_2(\text{CH}_3)\text{N}$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is C- $\text{NH}_2$ , Y is C- $\text{CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is ethyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is C- $\text{CH}_2\text{NH}_2$ , Y is C- $\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

5 B is ethyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^0$  is hydrido;

B is 2-propenyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is C- $\text{NH}_2$ , X is C- $\text{CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

10 B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is CH, X is C- $\text{NH}_2$ , Y is C- $\text{CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is C- $\text{CH}_2\text{NH}_2$ , Y is C- $\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is 2-butyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^0$  is hydrido;

15 B is (R)-2-butyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is C- $\text{NH}_2$ , X is C- $\text{CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is 2-propynyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is C- $\text{NH}_2$ , Y is C- $\text{CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

20 B is hydrido, A is  $\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is C- $\text{CH}_2\text{NH}_2$ , Y is C- $\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclopropyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is C-OH, X is C- $\text{CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclobutyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C- $\text{NH}_2$ , X is C- $\text{CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and  $X^O$  is hydrido;

B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and  $X^O$  is hydrido;

5 B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^O$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, W is C-NH<sub>2</sub>, X is C-CH<sub>2</sub>OH, Y is C-NH<sub>2</sub>, Z is CH, and  $X^O$  is hydrido;

10 B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and  $X^O$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and  $X^O$  is hydrido;

B is cyclopropyl, A is CH<sub>2</sub>,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^O$  is hydrido;

15 B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-NH<sub>2</sub>, X is C-CH<sub>2</sub>OH, Y is C-NH<sub>2</sub>, Z is CH, and  $X^O$  is hydrido;

B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, W is CH, X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and  $X^O$  is hydrido;

20 B is cyclohexyl, A is CH<sub>2</sub>CH<sub>2</sub>,  $Y^0$  is 4-amidinobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and  $X^O$  is hydrido;

B is 3-chlorophenyl, A is CH<sub>2</sub>CH<sub>2</sub>,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^O$  is hydrido;

B is phenyl, A is CH<sub>2</sub>,  $Y^0$  is 4-amidinobenzyl, W is C-NH<sub>2</sub>, X is C-CH<sub>2</sub>OH, Y is C-NH<sub>2</sub>, Z is CH, and  $X^O$  is hydrido;



B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is  $\text{C-NH}_2$ , Y is  $\text{C-CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

B is 2-imidazolyl, A is  $\text{CH}_2\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is  $\text{C-CH}_2\text{NH}_2$ , Y is  $\text{C-CO}_2\text{H}$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

5 B is 2,2,2-trifluoroethyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is C-OH, X is  $\text{C-CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^\circ$  is hydrido;

B is (S)-2-butyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is  $\text{C-NH}_2$ , X is  $\text{C-CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is C- $\text{NH}_2$ , Y is  $\text{C-CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

10 B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is  $\text{C-CH}_2\text{NH}_2$ , Y is  $\text{C-CO}_2\text{H}$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

B is hydrido, A is  $\text{CH}_2(\text{CH}_3)\text{N}$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is C-OH, X is  $\text{C-CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^\circ$  is hydrido;

15 B is ethyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is  $\text{C-NH}_2$ , X is  $\text{C-CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

B is ethyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is CH, X is  $\text{C-NH}_2$ , Y is  $\text{C-CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

B is 2-propenyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is  $\text{C-CH}_2\text{NH}_2$ , Y is  $\text{C-CO}_2\text{H}$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

20 B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-OH, X is  $\text{C-CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^\circ$  is hydrido;

B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is  $\text{C-NH}_2$ , X is  $\text{C-CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^\circ$  is hydrido;

B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and  $X^0$  is hydrido;

B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and  $X^0$  is hydrido;

5 B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^0$  is hydrido;

B is hydrido, A is CH<sub>2</sub>,  $Y^0$  is 4-amidinobenzyl, W is C-NH<sub>2</sub>, X is C-CH<sub>2</sub>OH, Y is C-NH<sub>2</sub>, Z is CH, and  $X^0$  is hydrido;

10 B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and  $X^0$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and  $X^0$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^0$  is hydrido;

15 B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, W is C-NH<sub>2</sub>, X is C-CH<sub>2</sub>OH, Y is C-NH<sub>2</sub>, Z is CH, and  $X^0$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is C-NH<sub>2</sub>, Y is C-CH<sub>2</sub>CO<sub>2</sub>H, Z is CH, and  $X^0$  is hydrido;

20 B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, W is N, X is C-CH<sub>2</sub>NH<sub>2</sub>, Y is C-CO<sub>2</sub>H, Z is CH, and  $X^0$  is hydrido;

B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-OH, X is C-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, Y is C-OH, Z is CH, and  $X^0$  is hydrido;

B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is C-NH<sub>2</sub>, X is C-CH<sub>2</sub>OH, Y is C-NH<sub>2</sub>, Z is CH, and  $X^0$  is hydrido;

B is cyclopropyl, A is  $\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is  $\text{C-NH}_2$ , Y is  $\text{C-CH}_2\text{CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is N, X is  $\text{C-CH}_2\text{NH}_2$ , Y is  $\text{C-CO}_2\text{H}$ , Z is CH, and  $\text{X}^0$  is hydrido;

5 B is cyclopentyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-OH, X is  $\text{C-CH}_2\text{CH}_2\text{NH}_2$ , Y is C-OH, Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclohexyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, W is  $\text{C-NH}_2$ , X is  $\text{C-CH}_2\text{OH}$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

10 B is cyclobutyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-H, X is  $\text{C-NH}_2$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclobutyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-H, X is  $\text{C-NH}_2$ , Y is  $\text{C-CH}_2\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclobutyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-H, X is  $\text{C-CO}_2\text{H}$ , Y is  $\text{C-CH}_2\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

15 B is cyclobutyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is  $\text{C-CH}_2\text{CO}_2\text{H}$ , Y is  $\text{C-CH}_2\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is cyclobutyl, A is single bond,  $\text{Y}^0$  is 4-amidinobenzyl, W is CH, X is  $\text{C-CH}_2\text{CO}_2\text{H}$ , X is  $\text{C-NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

20 B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-H, X is  $\text{C-NH}_2$ , Y is C- $\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-H, X is  $\text{C-NH}_2$ , Y is  $\text{C-CH}_2\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

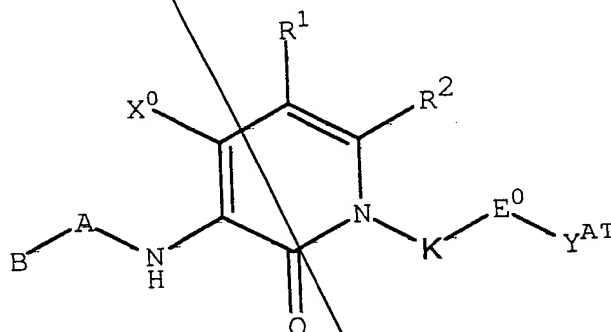
B is isopropyl, A is single bond,  $\text{Y}^0$  is 4-amidino-2-fluorobenzyl, W is C-H, X is  $\text{C-CO}_2\text{H}$ , Y is  $\text{C-CH}_2\text{NH}_2$ , Z is CH, and  $\text{X}^0$  is hydrido;

B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is  $C-CH_2CO_2H$ , Y is  $C-CH_2NH_2$ , Z is CH, and  $X^0$  is hydrido;

B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, W is CH, X is  $C-CH_2CO_2H$ , X is  $C-NH_2$ , Z is CH, and  $X^0$  is hydrido.

5

41. Compound of Claim 2 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

10 B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

15  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, 20 alkoxyamino, haloalkanoyl, nitro, alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl,

alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and  
 5 C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is optionally a C3-C12 cycloalkyl or a C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other  
 10 than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring  
 carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of  
 15 attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ ,  
 a ring carbon or nitrogen three atoms from the point of attachment and adjacent  
 20 to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxylamino, alkanoyl,  
 25 haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino,

alkylamino, N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylthio, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

A is a bond or  $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$  wherein rr is 0 or 1, pa is an integer selected from 0 through 3, and  $\text{W}^7$  is selected from the group consisting of O, S, C(O),  $(\text{R}^7)\text{NC}(\text{O})$ ,  $(\text{R}^7)\text{NC}(\text{S})$ , and  $\text{N}(\text{R}^7)$ ;

$\text{R}^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$\text{R}^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

$\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

$\text{Z}^0$  is selected from the group consisting of a bond,  $\text{W}^0-(\text{CH}(\text{R}^{42}))_{\text{p}}$  wherein p is an integer selected from 0 through 3 and  $\text{W}^0$  is selected from the group consisting of O, S, and  $\text{N}(\text{R}^{41})$ , and  $(\text{CH}(\text{R}^{41}))_{\text{g}}\text{-O}$  wherein g is an integer selected from 1 through 3, with the proviso that  $\text{Z}^0$  is directly bonded to the pyridone ring;

$\text{Z}^0$  is optionally  $\text{W}^{22}-(\text{CH}(\text{R}^{42}))_{\text{h}}$  wherein h is 0 or 1 and  $\text{W}^{22}$  is selected from the group consisting of 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl,

2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl,  
 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl,  
 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl,  
 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and  
 5 3,4-tetrahydrofuranyl, wherein  $Z^0$  is directly bonded to the pyridone ring and  
 $W^{22}$  is optionally substituted with one or more substituents selected from the  
 group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;

$R^{41}$  and  $R^{42}$  are independently selected from the group consisting of  
 hydrido, hydroxy, and amino;

10 Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon  
 adjacent to the carbon at the point of attachment of said phenyl or heteroaryl  
 ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the  
 carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon  
 adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is  
 15 optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the  
 carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any  
 carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the  
 proviso that Q is other than a phenyl when  $Z^0$  is a bond;

20 Q is optionally hydrido with the proviso that  $Z^0$  is selected from other  
 than a bond;

K is  $CHR^{4a}$  wherein  $R^{4a}$  is selected from the group consisting of  
 hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$E^0$  is selected from the group consisting of a bond,  $C(O)N(H)$ ,  
 $(H)NC(O)$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

25  $Y^{AT}$  is  $Q^b-Q^s$ ;

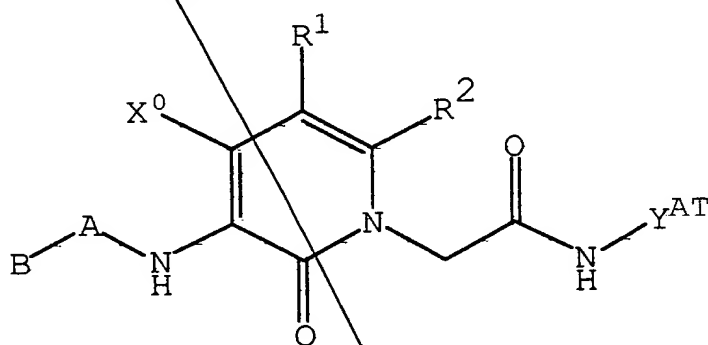
$Q^s$  is  $(CR^{37}R^{38})_b$  wherein  $b$  is an integer selected from 1 through 4,  
 $R^{37}$  is selected from the group consisting of hydrido, alkyl, and haloalkyl, and  
 $R^{38}$  is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl,  
 and heteroaroyl with the proviso that there is at least one aroyl or heteroaroyl  
 5 substituent, with the further proviso that no more than one aroyl or heteroaroyl  
 is bonded to  $(CR^{37}R^{38})_b$  at the same time, with the still further proviso that  
 said aroyl and said heteroaroyl are optionally substituted with one or more  
 substituents selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$ ,  
 with another further proviso that said aroyl and said heteroaroyl are bonded to  
 10 the  $CR^{37}R^{38}$  that is directly bonded to  $E^0$ , with still another further proviso  
 that no more than one alkyl or one haloalkyl is bonded to a  $CR^{37}R^{38}$  at the  
 same time, and with the additional proviso that said alkyl and haloalkyl are  
 bonded to a carbon other than the one bonding said aroyl or said heteroaroyl;  
 $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group  
 15 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy,  
 hydroxy, amino, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl,  
 alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy,  
 hydroxyalkyl, aminoalkyl, and cyano;  
 $R^{16}$  or  $R^{19}$  is optionally selected from the group consisting of  
 20  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the  
 proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;  
 $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  
 $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  
 no more than one of  $R^{20}$  and  $R^{21}$  is selected from the group consisting of  
 25 hydroxy, amino, alkylamino, and dialkylamino at the same time and with the



further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino.

42. Compound of Claim 41 of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy,

ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q<sup>b</sup>;

- 5 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butylnyl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentylnyl, 3-pentylnyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 10 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentylnyl, 1-methyl-3-pentylnyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptylnyl, 3-heptylnyl, 4-heptylnyl, 5-heptylnyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 15 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentylnyl, 1-ethyl-3-pentylnyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethylpentyl, 5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of 20 group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R<sup>32</sup>, R<sup>33</sup>, R<sup>34</sup>, R<sup>35</sup>, and R<sup>36</sup>;

- B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 25 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 30 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R<sup>33</sup>, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R<sup>9</sup> or R<sup>13</sup>, a ring carbon or nitrogen

adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , and a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

- 5  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 10 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl,<sup>a</sup> 15 N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamid sulfonyl, N-(2-chlorobenzyl)amid sulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, 20 N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamid sulfonyl, 25 N,N-dimethylamid sulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $CH_2$ ,

$CH_3CH$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

- 30  $R^1$  and  $X^O$  are independently selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano,

methoxy, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond, O, S, NH,  $OCH_2$ ,

5  $SCH_2$ , and  $N(H)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon

10 adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by

15  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond;

$Y^{AT}$  is  $Q^b-Q^s$ ;

$Q^s$  is selected from the group consisting of:

$C[R^{37}(\text{benzoyl})(CR^{37}R^{38})_b]$ ,

$C[R^{37}(2\text{-pyridylcarbonyl})(CR^{37}R^{38})_b]$ ,

20  $C[R^{37}(3\text{-pyridylcarbonyl})(CR^{37}R^{38})_b]$ ,

$C[R^{37}(4\text{-pyridylcarbonyl})(CR^{37}R^{38})_b]$ ,

$C[R^{37}(2\text{-thienylcarbonyl})(CR^{37}R^{38})_b]$ ,

$C[R^{37}(3\text{-thienylcarbonyl})(CR^{37}R^{38})_b]$ ,

$C[R^{37}(2\text{-thiazolylcarbonyl})(CR^{37}R^{38})_b]$ ,

$C[R^{37}(4\text{-thiazolylcarbonyl})(CR^{37}R^{38})_b]$ , and

$C[R^{37}(5\text{-thiazolylcarbonyl})(CR^{37}R^{38})_b]$ , wherein  $b$  is an integer selected

from 1 through 3,  $R^{37}$  and  $R^{38}$  are independently selected from the group

consisting of hydrido, alkyl, and haloalkyl, with the proviso that said benzoyl

5 and the heteroaroyls are optionally substituted with one or more substituents

selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  with the proviso

that  $R^{17}$  and  $R^{18}$  are optionally substituted at a carbon selected from other than

the meta and para carbons relative to the carbonyl of the benzoyl or heteroaroyl,

with the further proviso that said benzoyl or said heteroaroyl are bonded to the

10 carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group, and with the still further proviso that is no more than one alkyl or one

haloalkyl is bonded to a  $CR^{37}R^{38}$  at the same time;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group

consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy,

15 amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino,

dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl,

methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,

trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ ;

20  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group

consisting of hydrido, methyl, and ethyl.

43. Compound of Claim 42 or a pharmaceutically acceptable salt thereof, wherein;

25 B is selected from the group consisting of 2-aminophenyl,

3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl,

3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl,

3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl,

3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl,

3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

5 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 10 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

15 B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_3\text{CH}$ , 20  $\text{CH}_2\text{CH}_2$ , and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

$\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, fluoro, and chloro;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

25  $\text{Z}^0$  is selected from the group consisting of a bond, O, S, NH, and  $\text{OCH}_2$ ;

Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 30 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,

- 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-benzylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-ethylamidocarbonyl)phenyl,  
 5 3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
 3-amino-5-(N-propylamidocarbonyl)phenyl,  
 3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
 10 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl,  
 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl,  
 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl,  
 15 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl,  
 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl,  
 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl,  
 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl,  
 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl,  
 20 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl,  
 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl,  
 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,  
 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl,  
 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl, with the  
 25 proviso that Q is other than a phenyl or a substituted phenyl when  $Z^0$  is a bond;

$Y^{AT}$  is  $Q^b-Q^s$ ;

$Q^s$  is selected from the group consisting of:

- [CH(benzoyl)](CH<sub>2</sub>)<sub>b</sub>, [CH(2-pyridylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>,  
 [CH(3-pyridylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>, [CH(4-pyridylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>,  
 30 [CH(2-thienylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>, [CH(3-thienylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>,  
 [CH(2-thiazolylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>, [CH(4-thiazolylcarbonyl)](CH<sub>2</sub>)<sub>b</sub>,

and  $[\text{CH}(\text{5-thiazolylcarbonyl})](\text{CH}_2)_b$ , wherein  $b$  is an integer selected from 1 through 3, with the proviso that said benzoyl and said heteroaroyls are optionally substituted with one or more substituents selected from the group consisting of  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ , and  $\text{R}^{19}$  with the proviso that  $\text{R}^{17}$  and  $\text{R}^{18}$  are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl or the heteroaroyl, and that said benzoyl or said heteroaroyl are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group;

$\text{R}^{16}$  and  $\text{R}^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$\text{R}^{17}$  and  $\text{R}^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$\text{Q}^b$  is  $\text{N}(\text{R}^{26})\text{C}(\text{NR}^{25})\text{N}(\text{R}^{23})(\text{R}^{24})$ ;

$\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ , and  $\text{R}^{26}$  are independently hydrido or methyl.

44. Compound of Claim 43 or a pharmaceutically acceptable salt thereof, wherein;

$B$  is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazolyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

$B$  is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl,



B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

X<sup>o</sup> is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$$R^2 \text{ is } Z^0\text{-}Q;$$

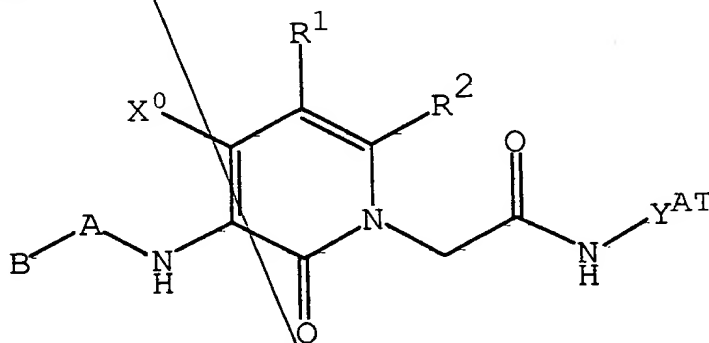
Q is selected from the group consisting of

3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl,  
3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl,  
3-amino-5-(N-benzylamidosulfonyl)phenyl,  
3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl,  
3-amino-5-(N-ethylamidocarbonyl)phenyl,  
3-amino-5-(N-isopropylamidocarbonyl)phenyl,  
3-amino-5-(N-propylamidocarbonyl)phenyl,  
3-amino-5-(N-isobutylamidocarbonyl)phenyl,  
3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl,  
3-amino-5-(N-cyclobutylamidocarbonyl)phenyl,  
3-amino-5-(N-cyclopentylamidocarbonyl)phenyl,  
3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl,  
3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl,  
3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl,

3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl, with the proviso that Q is other than a phenyl or a substituted phenyl when  $Z^0$  is a bond;

- 5  $Y^{AT}$  is selected from the group consisting of 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(5-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

- 10 45. A compound as recited in Claim 41 where said compound is selected from the group of the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 15  $R^2$  is 3-aminophenoxy, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;  
 $R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;  
 $R^2$  is benzyloxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;  
 20  $R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;  
 $R^2$  is benzylamino, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is hydroxymethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenoxy, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is fluoro;

5  $R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is fluoro;

$R^2$  is benzylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is fluoro;

$R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is fluoro;

10  $R^2$  is benzyloxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is fluoro;

$R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is fluoro;

15  $R^2$  is 3-aminophenoxy, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is fluoro, and  $X^O$  is hydroxymethyl;

$R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is fluoro, and  $X^O$  is hydroxymethyl;

$R^2$  is benzylamino, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is fluoro, and  $X^O$  is hydroxymethyl;

20  $R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is fluoro, and  $X^O$  is hydroxymethyl;

$R^2$  is phenylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is fluoro, and  $X^O$  is hydroxymethyl;

$R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is fluoro, and  $X^O$  is hydroxymethyl;

$R^2$  is 3-aminophenoxy, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is methoxy, and  $X^O$  is aminomethyl;

5  $R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is methoxy, and  $X^O$  is aminomethyl;

$R^2$  is benzyloxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is methoxy, and  $X^O$  is aminomethyl;

10  $R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is methoxy, and  $X^O$  is aminomethyl;

$R^2$  is benzylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is methoxy, and  $X^O$  is aminomethyl;

$R^2$  is phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is methoxy, and  $X^O$  is aminomethyl;

15  $R^2$  is 3-aminophenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is chloro;

$R^2$  is 3-aminophenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

20  $R^2$  is 3,5-diaminophenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-aminophenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  
25  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenoxy, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-aminophenoxy, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

5  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenoxy, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

10  $R^2$  is 3-carboxy-5-aminophenoxy, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenoxy, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido.

15  $R^2$  is 3-aminophenylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

20  $R^2$  is 3-carboxy-5-aminophenylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

25  $R^2$  is 3,5-diaminophenylthio, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-aminophenylthio, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and

5  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenylthio, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-aminophenylthio, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

10  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenylthio, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

15  $R^2$  is 3,5-diamino-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-amino-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

20  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3,5-diamino-2-thienyl, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

25  $R^2$  is 3-carboxy-5-amino-2-thienyl, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

5  $R^2$  is 3,5-diamino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

10  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)-2-thienyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido.

46. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 8, 16, 24, 32, 40, and 45 and a pharmaceutically acceptable carrier.

15 47. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 1 through 7, Claims 9 through 15, Claims 17 through 23, Claims 25 through 31, Claims 33 through 39, and Claims 41 through 44 and a pharmaceutically acceptable carrier.

20 48. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 and 47.

25 49. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 and 47.

30 50. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 and 47.

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5 group consisting of:

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenyl]-3-[N-ethyl-N-methylhydrazino]-4-fluoro-2-oxo-1(4H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-4-chloro-2-[1-[6-[3,5-diaminophenyl]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-aminophenyl]-3-[N,N-dimethylhydrazino]-4-fluoro-2-oxo-1(2H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-amino-5-carboxyphenyl]-4-chloro-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

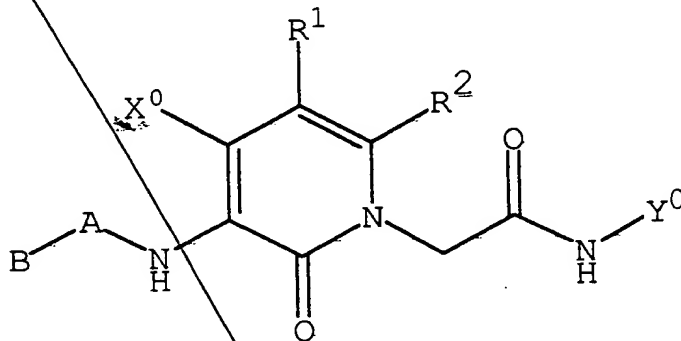
N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3,5-diaminophenyl]-3-[N-ethyl-N-methylhydrazino]-4-fluoro-2-oxo-1(4H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3,5-diaminophenyl]-3-[N-ethyl-N-methylhydrazino]-4-fluoro-2-oxo-1(4H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-4-chloro-2-[1-[6-[3,5-diaminophenyl]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyridinyl]]acetamide;

N-[[4-aminoiminomethylphenyl]methyl]-2-[1-[6-[3-amino-5-carboxyphenyl]-3-[N,N-dimethylhydrazino]-4-fluoro-2-oxo-1(2H)-pyridinyl]]acetamide.

60. A method of treating or preventing a TF VIIA-mediated disorder in a subject by administering a therapeutically effective amount of a compound or a pharmaceutically acceptable salt thereof, said compound of the formula:



5 wherein;

$R^2$  is 3-aminophenyl, B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is phenyl, B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-dimethylaminophenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

15  $R^2$  is 2-methylphenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is phenyl, B is 3-aminophenyl, A is  $\text{C(O)NH}$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

hydrido;  
 $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3,5-diaminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^O$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

15  $Y^O$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

~~R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, R<sup>1</sup> is hydrido, and X<sup>0</sup> is hydrido;~~

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

5  $R^2$  is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

10  $R^2$  is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

15  $R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

20  $R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

25  $R^2$  is 3-aminophenyl, B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

- $R^2$  is 3-aminophenyl, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is 3-pentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- 5  $R^2$  is 3-aminophenyl, B is hydrido, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- 10  $R^2$  is 3-aminophenyl, B is 2-methypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is 2-propyl, A is  $CH_3CH$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is propyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- 15  $R^2$  is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- 20  $R^2$  is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- $R^2$  is 3-aminophenyl, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;
- 25  $R^2$  is 3-aminophenyl, B is butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 1-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 1-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

5  $R^2$  is 3-aminophenyl, B is 2-methoxyethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

10  $R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-carboxyphenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

15  $R^2$  is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

20  $R^2$  is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

25  $R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenyl, B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

15  $R^2$  is 3-aminophenyl, B is 3-pentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is hydrido, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

20  $R^2$  is 3-aminophenyl, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is  $CH_3CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is propyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

25  $R^2$  is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenyl, B is butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 1-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 1-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

15  $R^2$  is 3-aminophenyl, B is 2-methoxyethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

20  $R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-carboxyphenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

25  $R^2$  is 3-amidocarbonyl-5-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;



$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

10  $R^2$  is 3,5-diaminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amidocarbonyl-5-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

15  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

25  $R^2$  is 3,5-diaminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

5  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

10  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

15  $R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

20  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

25  $R^2$  is 3-aminophenyl, B is cyclohexyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^O$  is hydrido;

$R^2$  is 2-hydroxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

5  $R^2$  is 3-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

$R^2$  is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is aminomethyl, and  $X^0$  is hydrido;

10  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

15  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

20  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

25  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

5  $R^2$  is 3-aminophenyl, B is cyclohexyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 2-hydroxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

10  $R^2$  is phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 3-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

$R^2$  is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydroxymethyl, and  $X^0$  is hydrido;

15  $R^2$  is 3-amidocarbonyl-5-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

25  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is chloro, and  $X^0$  is hydrido;

5  $R^2$  is 3-amidocarbonyl-5-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

10  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

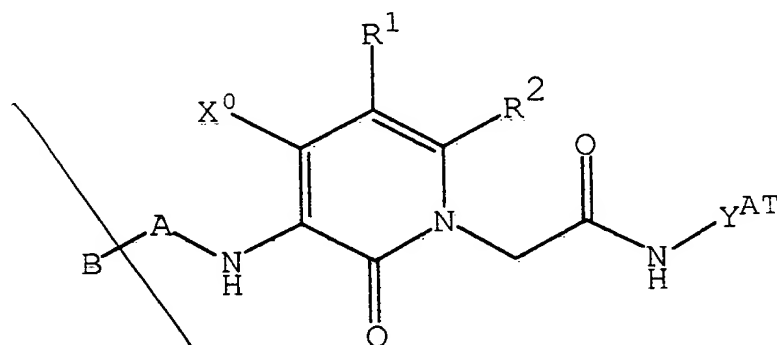
15  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

$R^2$  is 3,5-diaminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido;

20  $R^2$  is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl,  $R^1$  is hydrido, and  $X^0$  is hydrido.

61. A method of treating or preventing a TF VIIA-mediated disorder in a subject by administering a therapeutically effective amount of a compound or a pharmaceutically acceptable salt thereof, said compound of the formula:

25



wherein;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

5  $R^2$  is 3,5-diaminophenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

$R^2$  is 3-carboxy-5-aminophenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

10  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

$R^2$  is 3,5-diaminophenyl, B is isopropyl, A is single bond,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

15  $R^2$  is 3-carboxy-5-aminophenyl, B is isopropyl, A is single bond,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is single bond,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

20  $R^2$  is 3,5-diaminophenyl, B is cyclobutyl, A is single bond,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $\text{X}^{\text{O}}$  is chloro;

$R^2$  is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^O$  is chloro;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl,

5 and  $X^O$  is chloro;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

10  $R^2$  is 3-carboxy-5-aminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

15  $R^2$  is 3,5-diaminophenyl, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-carboxy-5-aminophenyl, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

20  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3,5-diaminophenyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

25  $R^2$  is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is single bond,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is chloro, and  $X^O$  is hydrido.

add  
BB

add  
C'